09980869

Enter NEWS followed by the item number or name to see news on that specific topic.

All use of STN is subject to the provisions of the STN Customer agreement. Please note that this agreement limits use to scientific research. Use for software development or design or implementation of commercial gateways or other similar uses is prohibited and may result in loss of user privileges and other penalties.

* * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 18:27:53 ON 04 DEC 2002

=> FIL REG

| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| FULL ESTIMATED COST | 0.21 | 0.21 |

FILE 'REGISTRY' ENTERED AT 18:28:01 ON 04 DEC 2002
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.


Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 3 DEC 2002 HIGHEST RN 475040-20-1
DICTIONARY FILE UPDATES: 3 DEC 2002 HIGHEST RN 475040-20-1

TSCA INFORMATION NOW CURRENT THROUGH MAY 20, 2002

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=>
Uploading 09980869c.str

L1 STRUCTURE UPLOADED

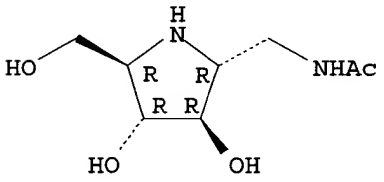
=> d
L1 HAS NO ANSWERS
L1 STR

09980869

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 13 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1997:530913 CAPLUS
DOCUMENT NUMBER: 127:190944
TITLE: Synthesis of 1-amino-1,2,5-trideoxy-2,5-imino-D-mannitol, a novel analog of the powerful glucosidase inhibitor 2,5-dideoxy-2,5-imino-D-mannitol, via an Amadori rearrangement of 5-azido-5-deoxy-D-glucofuranose
AUTHOR(S): Wrodnigg, Tanja M.; Stutz, Arnold E.; Withers, Steven G.
CORPORATE SOURCE: Institut fur Organische Chemie der Technischen Universitat Graz, Graz, A-8010, Austria
SOURCE: Tetrahedron Letters (1997), 38(31), 5463-5466
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
AB By an Amadori rearrangement of easily available 5-azido-5-deoxy-D-glucofuranose with dibenzylamine and subsequent catalytic hydrogenation of the resulting 5-azido-1-dibenzylamino-1,5-dideoxy-D-fructopyranose, the new 1-amino-1,2,5-trideoxy-2,5-imino-D-mannitol was obtained in only two steps and excellent overall yield. Likewise, other amines and/or other 5-modified hexofuranoses can be used to advantage. The reported rearrangement reaction is a high yielding, convenient and apparently general entry to 1-aminodeoxyketopyranoses modified at C-5, facilitated by the ring enlargement of the aldofuranose to the ketopyranose as an addnl. driving force.
IT **150571-23-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of aminotrideoxyiminomannitol via an Amadori rearrangement of azidodeoxyglucofuranose)
RN 150571-23-6 CAPLUS
CN Acetamide, N-[[(2R,3R,4R,5R)-3,4-dihydroxy-5-(hydroxymethyl)-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).




L4 ANSWER 9 OF 13 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1997:111881 CAPLUS
DOCUMENT NUMBER: 126:212336
TITLE: Intramolecular cyclization of C2 symmetric and meso-iodo amino alcohols: a synthetic approach to azasugars
AUTHOR(S): Kang, Sung Ho; Ryu, Do Hyun
CORPORATE SOURCE: Dep. Chem., Korea Advanced Inst. Sci. Technology, Taejon, 305-701, S. Korea
SOURCE: Tetrahedron Letters (1997), 38(4), 607-610
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal

09980869

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:SSSPTA1613SXW

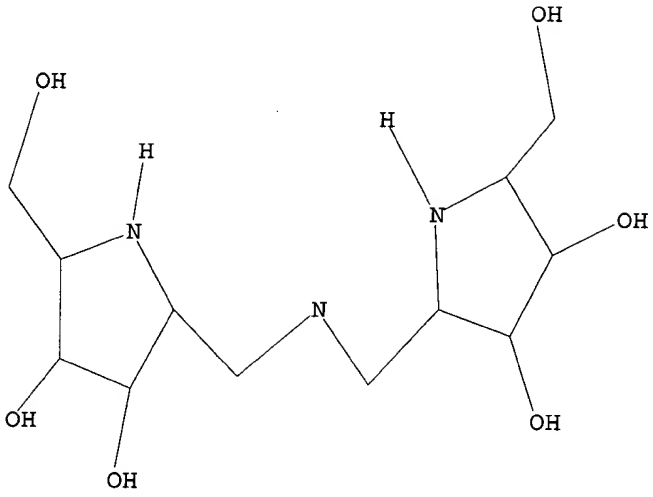
PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

```
 NEWS  1          Web Page URLs for STN Seminar Schedule - N. America
 NEWS  2  Apr 08  "Ask CAS" for self-help around the clock
 NEWS  3  Apr 09  BEILSTEIN: Reload and Implementation of a New Subject Area
 NEWS  4  Apr 09  ZDB will be removed from STN
 NEWS  5  Apr 19  US Patent Applications available in IFICDB, IFIPAT, and IFIUDB
 NEWS  6  Apr 22  Records from IP.com available in CAPLUS, HCAPLUS, and ZCAPLUS
 NEWS  7  Apr 22  BIOSIS Gene Names now available in TOXCENTER
 NEWS  8  Apr 22  Federal Research in Progress (FEDRIP) now available
 NEWS  9  Jun 03  New e-mail delivery for search results now available
 NEWS 10  Jun 10  MEDLINE Reload
 NEWS 11  Jun 10  PCTFULL has been reloaded
 NEWS 12  Jul 02  FOREGE no longer contains STANDARDS file segment
 NEWS 13  Jul 22  USAN to be reloaded July 28, 2002;
                  saved answer sets no longer valid
 NEWS 14  Jul 29  Enhanced polymer searching in REGISTRY
 NEWS 15  Jul 30  NETFIRST to be removed from STN
 NEWS 16  Aug 08  CANCERLIT reload
 NEWS 17  Aug 08  PHARMAMarketLetter(PHARMAML) - new on STN
 NEWS 18  Aug 08  NTIS has been reloaded and enhanced
 NEWS 19  Aug 19  Aquatic Toxicity Information Retrieval (AQUIRE)
                  now available on STN
 NEWS 20  Aug 19  IFIPAT, IFICDB, and IFIUDB have been reloaded
 NEWS 21  Aug 19  The MEDLINE file segment of TOXCENTER has been reloaded
 NEWS 22  Aug 26  Sequence searching in REGISTRY enhanced
 NEWS 23  Sep 03  JAPIO has been reloaded and enhanced
 NEWS 24  Sep 16  Experimental properties added to the REGISTRY file
 NEWS 25  Sep 16  Indexing added to some pre-1967 records in CA/CAPLUS
 NEWS 26  Sep 16  CA Section Thesaurus available in CAPLUS and CA
 NEWS 27  Oct 01  CASREACT Enriched with Reactions from 1907 to 1985
 NEWS 28  Oct 21  EVENTLINE has been reloaded
 NEWS 29  Oct 24  BEILSTEIN adds new search fields
 NEWS 30  Oct 24  Nutraceuticals International (NUTRACEUT) now available on STN
 NEWS 31  Oct 25  MEDLINE SDI run of October 8, 2002
 NEWS 32  Nov 18  DKILIT has been renamed APOLLIT
 NEWS 33  Nov 25  More calculated properties added to REGISTRY
 NEWS 34  Dec 02  TIBKAT will be removed from STN
 NEWS 35  Dec 04  CSA files on STN

NEWS EXPRESS  October 14 CURRENT WINDOWS VERSION IS V6.01,
              CURRENT MACINTOSH VERSION IS V6.0a(ENG) AND V6.0Ja(JP),
              AND CURRENT DISCOVER FILE IS DATED 01 OCTOBER 2002
NEWS HOURS    STN Operating Hours Plus Help Desk Availability
NEWS INTER    General Internet Information
NEWS LOGIN    Welcome Banner and News Items
NEWS PHONE    Direct Dial and Telecommunication Network Access to STN
NEWS WWW      CAS World Wide Web Site (general information)
```

09980869



Structure attributes must be viewed using STN Express query preparation.

```
=> s l1 sss sam
SAMPLE SEARCH INITIATED 18:28:18 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -     21 TO ITERATE

100.0% PROCESSED      21 ITERATIONS                                0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:          146 TO      694
PROJECTED ANSWERS:               0 TO        0

L2             0 SEA SSS SAM L1

=> s l1 full
FULL SEARCH INITIATED 18:28:23 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -    348 TO ITERATE

100.0% PROCESSED     348 ITERATIONS                               1 ANSWERS
SEARCH TIME: 00.00.01

L3             1 SEA SSS FUL L1

=> fil caplus
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                   140.28     140.49

FILE 'CAPLUS' ENTERED AT 18:28:31 ON 04 DEC 2002
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2002 AMERICAN CHEMICAL SOCIETY (ACS)
```

09980869



FILE COVERS 1907 - 4 Dec 2002 VOL 137 ISS 23
FILE LAST UPDATED: 3 Dec 2002 (20021203/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

CAS roles have been modified effective December 16, 2001. Please check your SDI profiles to see if they need to be revised. For information on CAS roles, enter HELP ROLES at an arrow prompt or use the CAS Roles thesaurus (/RL field) in this file.

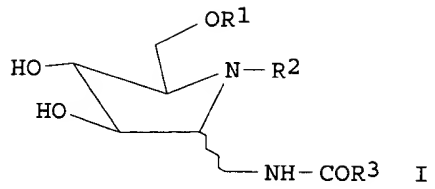
=> s l3 full
L4 2 L3

=> d l4 1-2 ibib abs hitstr

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:814457 CAPLUS
DOCUMENT NUMBER: 133:350461
TITLE: Preparation of iminocyclitol inhibitors of hexoaminidase and glycosidase
INVENTOR(S): Wong, Chi-huey; Liu, Jungie
PATENT ASSIGNEE(S): The Scripps Research Institute, USA
SOURCE: PCT Int. Appl., 52 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2000068194 | A1 | 20001116 | WO 2000-US13048 | 20000511 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| EP 1181274 | A1 | 20020227 | EP 2000-930662 | 20000511 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |

PRIORITY APPLN. INFO.: US 1999-133549P P 19990511
WO 2000-US13048 W 20000511
OTHER SOURCE(S): MARPAT 133:350461
GI




I

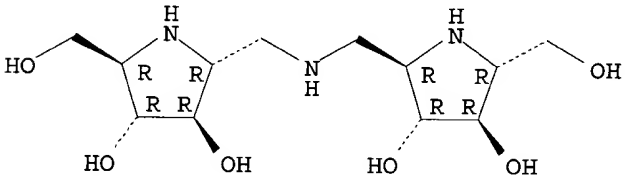
AB Iminocyclitols I wherein: R1 is selected from the group consisting of hydrogen, sulfate, and Me sulfate; R2 is selected from the group consisting of hydrogen, Me, Et, and a branched or unbranched hydrocarbon having between 3 and 8 carbons; and R3 is a hydrocarbon having between 1 and 50 carbon atoms, were prepd. and have potent inhibition activity with respect to hexoaminidases and glycosidases. Thus,. (1'R,2S,3R,4R,5R)-3,4-dihydroxy-2-[1',2'-dihydroxy-ethyl]-5-hydroxymethyl-pyrrolidine was prepd. and tested as .beta.-glucosidase inhibitor (Ki = 2.6 .mu.M).

IT **231618-81-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of iminocyclitol inhibitors of hexoaminidase and glycosidase)

RN 231618-81-8 CAPLUS

CN 3,4-Pyrrolidinediol, 2,2'-[iminobis(methylene)]bis[5-(hydroxymethyl)-, (2R,2'R,3R,3'R,4R,4'R,5R,5'R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).




REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1999:390839 CAPLUS

DOCUMENT NUMBER: 131:102464

TITLE: A Versatile Synthetic Strategy for the Preparation and Discovery of New Iminocyclitols as Inhibitors of Glycosidases

AUTHOR(S): Takebayashi, Maki; Hiranuma, Sayoko; Kanie, Yoshimi; Kajimoto, Tetsuya; Kanie, Osamu; Wong, Chi-Huey

CORPORATE SOURCE: Frontier Research Program, The Institute of Physical and Chemical Research (RIKEN), Wako-shi Saitama, 351-0198, Japan

SOURCE: Journal of Organic Chemistry (1999), 64(14), 5280-5291
CODEN: JOCEAH; ISSN: 0022-3263

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A series of imino cyclitols was prepd. using a versatile synthetic strategy, and their inhibition of glycosidases was evaluated using capillary electrophoresis. The study has demonstrated that remarkable specificities in enzyme inhibition can be achieved with small modifications on the aglycon side chain and the ring nitrogen. Among the

compds. synthesized, (2R,3R,4R,5R)-N-methyl-2-(acetamidomethyl)-3,4-dihydroxy-5-(hydroxymethyl)pyrrolidine was found to be very potent against .beta.-N-acetylhexosaminidase P with the Ki value of 80 nM.

IT **231618-81-8P**

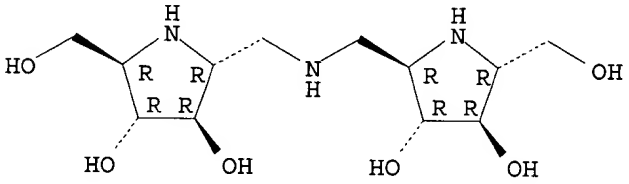
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. of imino cyclitols as glycosidase inhibitors)

RN 231618-81-8 CAPLUS

CN 3,4-Pyrrolidinediol, 2,2'-[iminobis(methylene)]bis[5-(hydroxymethyl)-, (2R,2'R,3R,3'R,4R,4'R,5R,5'R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 56 THERE ARE 56 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

09980869

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:SSSPTA1613SXW

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

| | | |
|---|---|---|
| NEWS 1 | | Web Page URLs for STN Seminar Schedule - N. America |
| NEWS 2 | Apr 08 | "Ask CAS" for self-help around the clock |
| NEWS 3 | Apr 09 | BEILSTEIN: Reload and Implementation of a New Subject Area |
| NEWS 4 | Apr 09 | ZDB will be removed from STN |
| NEWS 5 | Apr 19 | US Patent Applications available in IFICDB, IFIPAT, and IFIUDB |
| NEWS 6 | Apr 22 | Records from IP.com available in CAPLUS, HCAPLUS, and ZCAPLUS |
| NEWS 7 | Apr 22 | BIOSIS Gene Names now available in TOXCENTER |
| NEWS 8 | Apr 22 | Federal Research in Progress (FEDRIP) now available |
| NEWS 9 | Jun 03 | New e-mail delivery for search results now available |
| NEWS 10 | Jun 10 | MEDLINE Reload |
| NEWS 11 | Jun 10 | PCTFULL has been reloaded |
| NEWS 12 | Jul 02 | FOREGE no longer contains STANDARDS file segment |
| NEWS 13 | Jul 22 | USAN to be reloaded July 28, 2002; saved answer sets no longer valid |
| NEWS 14 | Jul 29 | Enhanced polymer searching in REGISTRY |
| NEWS 15 | Jul 30 | NETFIRST to be removed from STN |
| NEWS 16 | Aug 08 | CANCERLIT reload |
| NEWS 17 | Aug 08 | PHARMAMarketLetter(PHARMAML) - new on STN |
| NEWS 18 | Aug 08 | NTIS has been reloaded and enhanced |
| NEWS 19 | Aug 19 | Aquatic Toxicity Information Retrieval (AQUIRE) now available on STN |
| NEWS 20 | Aug 19 | IFIPAT, IFICDB, and IFIUDB have been reloaded |
| NEWS 21 | Aug 19 | The MEDLINE file segment of TOXCENTER has been reloaded |
| NEWS 22 | Aug 26 | Sequence searching in REGISTRY enhanced |
| NEWS 23 | Sep 03 | JAPIO has been reloaded and enhanced |
| NEWS 24 | Sep 16 | Experimental properties added to the REGISTRY file |
| NEWS 25 | Sep 16 | Indexing added to some pre-1967 records in CA/CAPLUS |
| NEWS 26 | Sep 16 | CA Section Thesaurus available in CAPLUS and CA |
| NEWS 27 | Oct 01 | CASREACT Enriched with Reactions from 1907 to 1985 |
| NEWS 28 | Oct 21 | EVENTLINE has been reloaded |
| NEWS 29 | Oct 24 | BEILSTEIN adds new search fields |
| NEWS 30 | Oct 24 | Nutraceuticals International (NUTRACEUT) now available on STN |
| NEWS 31 | Oct 25 | MEDLINE SDI run of October 8, 2002 |
| NEWS 32 | Nov 18 | DKILIT has been renamed APOLLIT |
| NEWS 33 | Nov 25 | More calculated properties added to REGISTRY |
| NEWS 34 | Dec 02 | TIBKAT will be removed from STN |
| NEWS 35 | Dec 04 | CSA files on STN |

| | |
|---|---|
| NEWS EXPRESS | October 14 CURRENT WINDOWS VERSION IS V6.01, CURRENT MACINTOSH VERSION IS V6.0a(ENG) AND V6.0Ja(JP), AND CURRENT DISCOVER FILE IS DATED 01 OCTOBER 2002 |
| NEWS HOURS | STN Operating Hours Plus Help Desk Availability |
| NEWS INTER | General Internet Information |
| NEWS LOGIN | Welcome Banner and News Items |
| NEWS PHONE | Direct Dial and Telecommunication Network Access to STN |
| NEWS WWW | CAS World Wide Web Site (general information) |

09980869

Enter NEWS followed by the item number or name to see news on that specific topic.

All use of STN is subject to the provisions of the STN Customer agreement. Please note that this agreement limits use to scientific research. Use for software development or design or implementation of commercial gateways or other similar uses is prohibited and may result in loss of user privileges and other penalties.

* * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 10:34:03 ON 04 DEC 2002

=> FIL REG

| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| FULL ESTIMATED COST | 0.21 | 0.21 |

FILE 'REGISTRY' ENTERED AT 10:34:14 ON 04 DEC 2002
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.


Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 3 DEC 2002 HIGHEST RN 475040-20-1
DICTIONARY FILE UPDATES: 3 DEC 2002 HIGHEST RN 475040-20-1

TSCA INFORMATION NOW CURRENT THROUGH MAY 20, 2002

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=>
Uploading 09980869b.str

L1 STRUCTURE UPLOADED

=> d
L1 HAS NO ANSWERS
L1 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss sam
SAMPLE SEARCH INITIATED 10:34:38 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 8 TO ITERATE

09980869

100.0% PROCESSED 8 ITERATIONS 3 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 8 TO 329
PROJECTED ANSWERS: 3 TO 163

L2 3 SEA SSS SAM L1

=> s l1 full
FULL SEARCH INITIATED 10:34:48 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 96 TO ITERATE

100.0% PROCESSED 96 ITERATIONS 23 ANSWERS
SEARCH TIME: 00.00.01

L3 23 SEA SSS FUL L1

=> fil caplus
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 140.28 140.49

FILE 'CAPLUS' ENTERED AT 10:34:56 ON 04 DEC 2002
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.


FILE COVERS 1907 - 4 Dec 2002 VOL 137 ISS 23
FILE LAST UPDATED: 3 Dec 2002 (20021203/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

CAS roles have been modified effective December 16, 2001. Please check your SDI profiles to see if they need to be revised. For information on CAS roles, enter HELP ROLES at an arrow prompt or use the CAS Roles thesaurus (/RL field) in this file.

=> s l3 full
L4 24 L3

=> d l4 1-24 ibib abs hitstr

L4 ANSWER 1 OF 24 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:814457 CAPLUS
DOCUMENT NUMBER: 133:350461
TITLE: Preparation of iminocyclitol inhibitors of hexoaminidase and glycosidase
INVENTOR(S): Wong, Chi-huey; Liu, Jungie

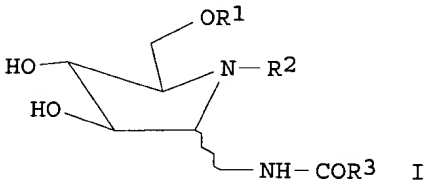
09980869

PATENT ASSIGNEE(S): The Scripps Research Institute, USA
SOURCE: PCT Int. Appl., 52 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2000068194 | A1 | 20001116 | WO 2000-US13048 | 20000511 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| EP 1181274 | A1 | 20020227 | EP 2000-930662 | 20000511 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |

PRIORITY APPLN. INFO.: US 1999-133549P P 19990511
WO 2000-US13048 W 20000511

OTHER SOURCE(S): MARPAT 133:350461
GI



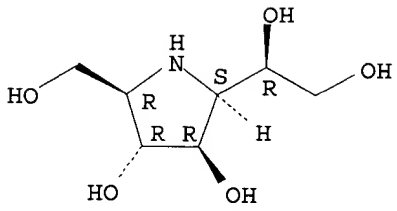

I

AB Iminocyclitols I wherein: R1 is selected from the group consisting of hydrogen, sulfate, and Me sulfate; R2 is selected from the group consisting of hydrogen, Me, Et, and a branched or unbranched hydrocarbon having between 3 and 8 carbons; and R3 is a hydrocarbon having between 1 and 50 carbon atoms, were prepd. and have potent inhibition activity with respect to hexoaminidases and glycosidases. Thus,. (1'R,2S,3R,4R,5R)-3,4-dihydroxy-2-[1',2'-dihydroxy-ethyl]-5-hydroxymethyl-pyrrolidine was prepd. and tested as .beta.-glucosidase inhibitor (Ki = 2.6 .mu.M).

IT **173142-29-5P 173142-30-8P 231618-77-2P**
**231618-78-3P 231618-80-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of iminocyclitol inhibitors of hexoaminidase and glycosidase)

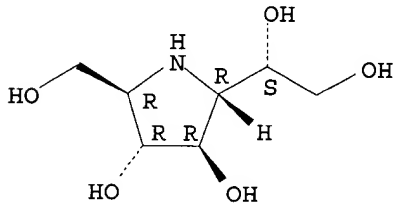
RN 173142-29-5 CAPLUS
CN 2,5-Pyrrolidinedimethanol, 3,4-dihydroxy-.alpha.-(hydroxymethyl)-, (.alpha.R,2S,3R,4R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



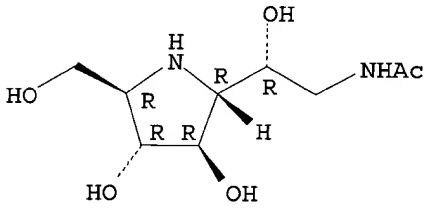
RN 173142-30-8 CAPLUS
CN 2,5-Pyrrolidinedimethanol, 3,4-dihydroxy-.alpha.-(hydroxymethyl)-, (.alpha.S,2R,3R,4R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



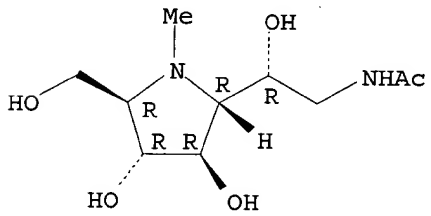
RN 231618-77-2 CAPLUS
CN Acetamide, N-[(2R)-2-[(2R,3R,4R,5R)-3,4-dihydroxy-5-(hydroxymethyl)-2-pyrrolidinyl]-2-hydroxyethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 231618-78-3 CAPLUS
CN Acetamide, N-[(2R)-2-[(2R,3R,4R,5R)-3,4-dihydroxy-5-(hydroxymethyl)-1-methyl-2-pyrrolidinyl]-2-hydroxyethyl]- (9CI) (CA INDEX NAME)

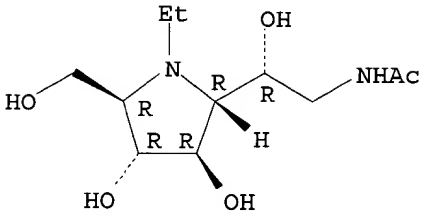
Absolute stereochemistry.



RN 231618-80-7 CAPLUS
CN Acetamide, N-[(2R)-2-[(2R,3R,4R,5R)-1-ethyl-3,4-dihydroxy-5-(hydroxymethyl)-2-pyrrolidinyl]-2-hydroxyethyl]- (9CI) (CA INDEX NAME)

09980869

Absolute stereochemistry.




REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

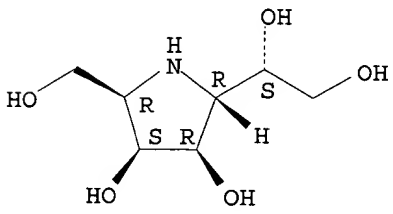
L4 ANSWER 2 OF 24 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:619065 CAPLUS
DOCUMENT NUMBER: 133:362910
TITLE: Synthesis and enzymatic evaluation of five-membered iminocyclitols and a pseudodisaccharide
AUTHOR(S): Saotome, C.; Kanie, Y.; Kanie, O.; Wong, C.-H.
CORPORATE SOURCE: Frontier Research Program, The Institute of Physical and Chemical Research (RIKEN), Wako-shi, Saitama, 351-0198, Japan
SOURCE: Bioorganic & Medicinal Chemistry (2000), 8(9), 2249-2261
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 133:362910

AB Described here are the synthesis of five-membered iminocyclitols with galacto-configuration and a pseudodisaccharide, and their inhibitory activities against .beta.-galactosyltransferase, .beta.-galactosidase and .alpha.-mannosidase.

IT **307315-74-8P 307315-75-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis and enzymic evaluation of five-membered iminocyclitols and a pseudodisaccharide)

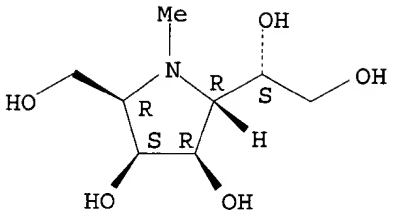
RN 307315-74-8 CAPLUS
CN 2,5-Pyrrolidinedimethanol, 3,4-dihydroxy-.alpha.-(hydroxymethyl)-, (.alpha.S,2R,3R,4S,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).




RN 307315-75-9 CAPLUS
CN 2,5-Pyrrolidinedimethanol, 3,4-dihydroxy-.alpha.-(hydroxymethyl)-1-methyl-, (.alpha.S,2R,3R,4S,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).




REFERENCE COUNT: 57 THERE ARE 57 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 24 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:183999 CAPLUS
DOCUMENT NUMBER: 132:329434
TITLE: Molecular requirements of imino sugars for the selective control of N-linked glycosylation and glycosphingolipid biosynthesis
AUTHOR(S): Butters, T. D.; Van den Broek, L. A. G. M.; Fleet, G. W. J.; Krulle, T. M.; Wormald, M. R.; Dwek, R. A.; Platt, F. M.
CORPORATE SOURCE: Glycobiology Institute, Department of Biochemistry, Oxford University, Oxford, OX1 3QU, UK
SOURCE: Tetrahedron: Asymmetry (2000), 11(1), 113-124
CODEN: TASYE3; ISSN: 0957-4166
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

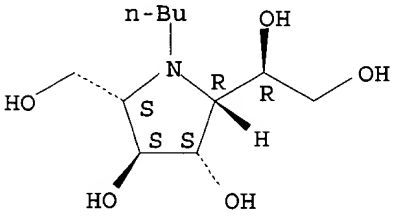
AB N-Butyl-deoxynojirimycin (NB-DNJ) has been approved for clin. trials as a potential therapy for Gaucher disease, a glycolipid lysosomal storage disorder. As this compd. has both glycoprotein processing .alpha.-glucosidase and ceramide glucosyltransferase inhibitory activity, we have sought to det. the mol. basis for these two activities. NB-DNJ is known to resemble the pos. charged oxocarbonium-like transition state for .alpha.-glucosidase I and the structure-function relationships we present now help to define the recognition epitope for the enzyme. Inhibition of ceramide glucosyltransferase by NB-DNJ was competitive for ceramide (Ki=7.4 .mu.M) and non-competitive for UDP-glucose, indicating inhibitory activity is by ceramide mimicry. The presence of an N-alkyl chain was obligatory for transferase inhibition and increases in alkyl chain length provided a modest increase in inhibitory potency. By contrast, .alpha.-glucosidase inhibition was independent of the N-alkyl chain and changes in chain length. The effects of ring substitutions identified the C3 hydroxyl group as being crit. for both enzymes but C1 and C6 modifications led to a loss of transferase inhibition only. Attempts to rationalize these data for transferase inhibition using an energy minimized mol. model of NB-DNJ and ceramide predicted structural homol. of three stereogenic centers and the N-alkyl chain of NB-DNJ, with the trans-alkenyl and N-acyl chain of ceramide. On the basis of these studies, modifications to imino sugar inhibitors can be suggested that allow a more selective approach for mol. inhibition of both ceramide glucosyltransferase and .alpha.-glucosidase I, leading to improved compds. for the potential treatment of lysosomal glycosphingolipid storage disorders and viral infections, resp.

IT **267668-07-5**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(mol. requirements of imino sugars for the selective control of N-linked glycosylation and glycosphingolipid biosynthesis)

09980869

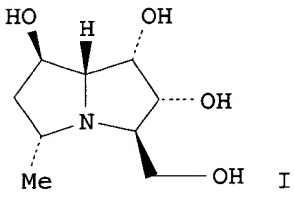
RN 267668-07-5 CAPLUS
CN 2,5-Pyrrolidinedimethanol, 1-butyl-3,4-dihydroxy-.alpha.-(hydroxymethyl)-, (.alpha.R,2R,3S,4S,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.




REFERENCE COUNT: 50 THERE ARE 50 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 24 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:183992 CAPLUS
DOCUMENT NUMBER: 132:332046
TITLE: New polyhydroxylated pyrrolizidine alkaloids from Muscari armeniacum: structural determination and biological activity
AUTHOR(S): Asano, Naoki; Kuroi, Hiroyo; Ikeda, Kyoko; Kizu, Haruhisa; Kameda, Yukihiko; Kato, Atsushi; Adachi, Isao; Watson, Alison A.; Nash, Robert J.; Fleet, George W. J.
CORPORATE SOURCE: Faculty of Pharmaceutical Sciences, Hokuriku University, Kanazawa, 920-1181, Japan
SOURCE: Tetrahedron: Asymmetry (2000), 11(1), 1-8
CODEN: TASYE3; ISSN: 0957-4166
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

AB Four new polyhydroxypyrrolizidines, hyacinthacines A1, A2, A3 and B3 (I), were isolated from the bulbs of Muscari armeniacum (Hyacinthaceae) in addn. to the known hyacinthacine C1, which was isolated from Hyacinthoides non-scripta (Hyacinthaceae). The structures of hyacinthacines A1, A2, A3 and B3 were identified on the basis of extensive NMR studies as (1S,2R,3R,7aR)-1,2-dihydroxy-3-hydroxymethylpyrrolizidine, (1R,2R,3R,7aR)-1,2-dihydroxy-3-hydroxymethylpyrrolizidine, (1R,2R,3R,5R,7aR)-1,2-dihydroxy-3-hydroxymethyl-5-methylpyrrolizidine and (1S,2R,3R,5R,7R,7aR)-3-hydroxymethyl-5-methyl-1,2,7-trihydroxypyrrolizidine, resp., or the corresponding enantiomers. The inhibitory activities of these new hyacinthacines against a variety of glycosidases are described.

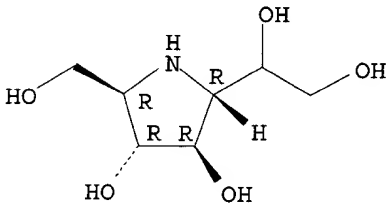
IT **197390-30-0P**, HomoDMDP

RL: BOC (Biological occurrence); BSU (Biological study, unclassified); PUR (Purification or recovery); BIOL (Biological study); OCCU (Occurrence); PREP (Preparation)
(isolation, structural detn. and biol. activity of polyhydroxylated pyrrolizidine alkaloids from Muscari)

RN 197390-30-0 CAPLUS

CN 2,5-Pyrrolidinedimethanol, 3,4-dihydroxy-.alpha.-(hydroxymethyl)-, (2R,3R,4R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.




REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 24 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1999:750948 CAPLUS

DOCUMENT NUMBER: 132:166484

TITLE: An approach to combinatorial library generation of galactofuranose mimics as potential inhibitors of mycobacterial cell wall biosynthesis: synthesis of a peptidomimetic of uridine 5'-diphosphogalactofuranose (UDP-galf)

AUTHOR(S): Lee, Richard E.; Smith, Martin D.; Pickering, Lea; Fleet, George W. J.

CORPORATE SOURCE: Dyson Perrins Laboratory, Oxford Center for Molecular Sciences, Oxford, OX1 3QY, UK

SOURCE: Tetrahedron Letters (1999), 40(49), 8689-8692
CODEN: TELEAY; ISSN: 0040-4039

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

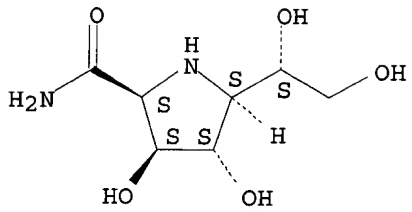
AB An approach to the synthesis of amide libraries based upon an .alpha.-iminogalactofuranose template as potential inhibitors of mycobacterial cell wall biosynthesis is described. The synthesis of peptide analogs of uridine 5'-phosphogalactofuranose (UDP-Galf) is also described.

IT **258501-98-3P 258501-99-4P 258502-00-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis and evaluation of activity of as UDP-galactose mutase inhibitor)

RN 258501-98-3 CAPLUS

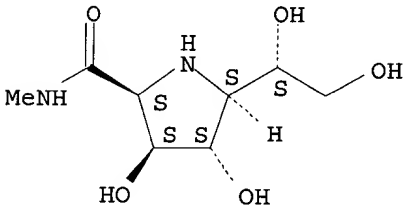
CN 2-Pyrrolidinecarboxamide, 5-[(1S)-1,2-dihydroxyethyl]-3,4-dihydroxy-, (2S,3S,4S,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



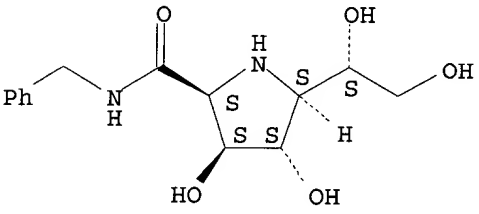
RN 258501-99-4 CAPLUS
CN 2-Pyrrolidinecarboxamide, 5-[(1S)-1,2-dihydroxyethyl]-3,4-dihydroxy-N-methyl-, (2S,3S,4S,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 258502-00-0 CAPLUS
CN 2-Pyrrolidinecarboxamide, 5-[(1S)-1,2-dihydroxyethyl]-3,4-dihydroxy-N-(phenylmethyl)-, (2S,3S,4S,5S)- (9CI) (CA INDEX NAME)

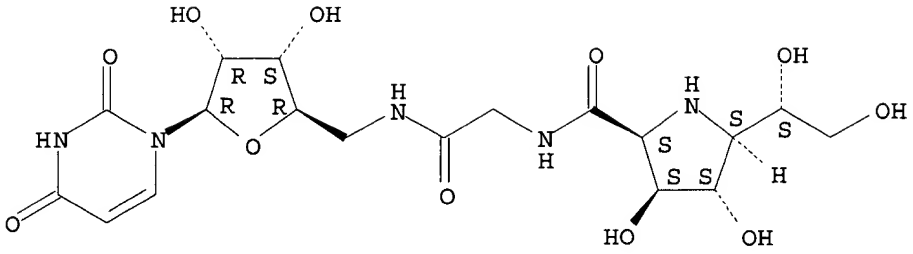
Absolute stereochemistry. Rotation (-).



IT **258502-01-1P 258502-02-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of as peptidomimetic of uridine 5'-diphosphogalactofuranose for use as potential inhibitors of mycobacterial cell wall biosynthesis)
RN 258502-01-1 CAPLUS
CN Uridine, 5'-deoxy-5'-[[[[[(2S,3S,4S,5S)-5-[(1S)-1,2-dihydroxyethyl]-3,4-dihydroxy-2-pyrrolidinyl]carbonyl]amino]acetyl]amino]- (9CI) (CA INDEX NAME)

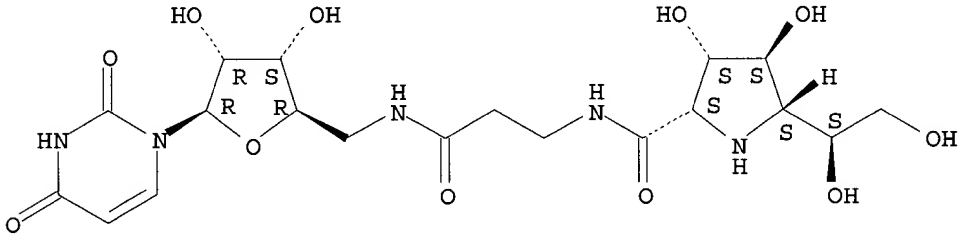
Absolute stereochemistry. Rotation (-).

09980869



RN 258502-02-2 CAPLUS
CN Uridine, 5'-deoxy-5'-[[3-[[[(2S,3S,4S,5S)-5-[(1S)-1,2-dihydroxyethyl]-3,4-dihydroxy-2-pyrrolidinyl]carbonyl]amino]-1-oxopropyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 24 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:416453 CAPLUS
DOCUMENT NUMBER: 131:182298
TITLE: Polyhydroxylated pyrrolidine and pyrrolizidine alkaloids from Hyacinthoides non-scripta and Scilla campanulata
AUTHOR(S): Kato, Atsushi; Adachi, Isao; Miyauchi, Miwa; Ikeda, Kyoko; Komae, Tomomi; Kizu, Haruhisa; Kameda, Yukihiko; Watson, Alison A.; Nash, Robert J.; Wormald, Mark R.; Fleet, George W. J.; Asano, Naoki
CORPORATE SOURCE: Department of Hospital Pharmacy, Toyama Medical and Pharmaceutical University, Toyama, 930-0194, Japan
SOURCE: Carbohydrate Research (1999), 316(1-4), 95-103
CODEN: CRBRAT; ISSN: 0008-6215
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Aq. ethanol exts. from the immature fruits and stalks of bluebell (Hyacinthoides non-scripta) were subjected to various ion-exchange column chromatog. steps to give 1,4-dideoxy-1,4-imino-D-arabinitol (I), 2(R),5(R)-bis(hydroxymethyl)-3(R),4(R)-dihydroxypyrrolidine (DMDP) (II), 6-deoxy-6-C-(2,5-dihydroxyhexyl)-DMDP (III), 2,5-dideoxy-2,5-imino-DL-glycero-D-manno-heptitol (homoDMDP) (IV), homoDMDP-7-O-apioside (V), homoDMDP-7-O-.beta.-D-xylopyranoside (VI), (1S*,2R*,3R*,5R*,7aR*)-1,2-dihydroxy-3,5-dihydroxymethylpyrrolizidine (VII), and (1S*,2R*,3R*,5R*,6R*,7R*,7aR*)-3-hydroxymethyl-5-methyl-1,2,6,7-tetrahydroxypyrrolizidine (VIII). Bulbs of Scilla campanulata (Hyacinthaceae) yielded (1S*,2R*,3R*,5S*,7aR*)-1,2-dihydroxy-3,5-dihydroxymethylpyrrolizidine (IX) in addn. to compds. I-VII. Compds. III, VI, VII, VIII, and IX are new natural products. Compd. IV is a potent competitive

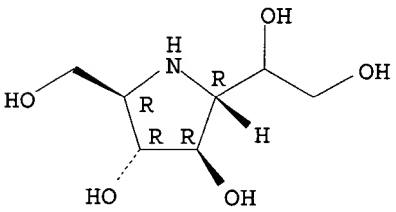
inhibitor with Ki values of 1.5 .mu.M for Caldocellum saccharolyticum .beta.-glucosidase and 2.2 .mu.M for bovine liver .beta.-galactosidase. The 7-O-.beta.-D-xyloside VI was a stronger competitive inhibitor than IV of C. saccharolyticum .beta.-glucosidase and rat intestinal lactase, with Ki values of 0.06 and 0.07 .mu.M, resp., but a weaker inhibitor of bovine liver .beta.-galactosidase. Furthermore, compd. IV is also a competitive inhibitor (Ki = 1.8 .mu.M) of porcine kidney trehalase, but 6 was inactive against this enzyme.

IT **197390-30-0**, 2,5-Dideoxy-2,5-imino-DL-glycero-D-manno-heptitol
RL: BAC (Biological activity or effector, except adverse); BOC (Biological occurrence); BSU (Biological study, unclassified); BIOL (Biological study); OCCU (Occurrence)
(glycosidase inhibiting activities of pyrrolidine and pyrrolizidine alkaloids from Hyacinthoides non-scripta and Scilla campanulata)

RN 197390-30-0 CAPLUS

CN 2,5-Pyrrolidinedimethanol, 3,4-dihydroxy-.alpha.-(hydroxymethyl)-, (2R,3R,4R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.




REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 24 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1999:390839 CAPLUS

DOCUMENT NUMBER: 131:102464

TITLE: A Versatile Synthetic Strategy for the Preparation and Discovery of New Iminocyclitols as Inhibitors of Glycosidases

AUTHOR(S): Takebayashi, Maki; Hiranuma, Sayoko; Kanie, Yoshimi; Kajimoto, Tetsuya; Kanie, Osamu; Wong, Chi-Huey

CORPORATE SOURCE: Frontier Research Program, The Institute of Physical and Chemical Research (RIKEN), Wako-shi Saitama, 351-0198, Japan

SOURCE: Journal of Organic Chemistry (1999), 64(14), 5280-5291
CODEN: JOCEAH; ISSN: 0022-3263

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

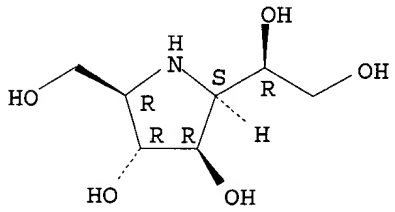
LANGUAGE: English

AB A series of imino cyclitols was prepd. using a versatile synthetic strategy, and their inhibition of glycosidases was evaluated using capillary electrophoresis. The study has demonstrated that remarkable specificities in enzyme inhibition can be achieved with small modifications on the aglycon side chain and the ring nitrogen. Among the compds. synthesized, (2R,3R,4R,5R)-N-methyl-2-(acetamidomethyl)-3,4-dihydroxy-5-(hydroxymethyl)pyrrolidine was found to be very potent against .beta.-N-acetylhexosaminidase P with the Ki value of 80 nM.

IT **173142-29-5P 173142-30-8P 231618-77-2P 231618-78-3P 231618-80-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

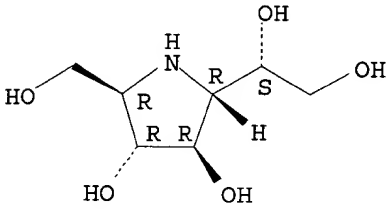
(prepn. of imino cyclitols as glycosidase inhibitors)
RN 173142-29-5 CAPLUS
CN 2,5-Pyrrolidinedimethanol, 3,4-dihydroxy-.alpha.-(hydroxymethyl)-, (.alpha.R,2S,3R,4R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



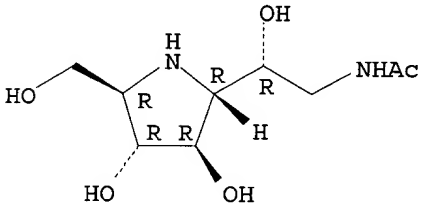

RN 173142-30-8 CAPLUS
CN 2,5-Pyrrolidinedimethanol, 3,4-dihydroxy-.alpha.-(hydroxymethyl)-, (.alpha.S,2R,3R,4R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



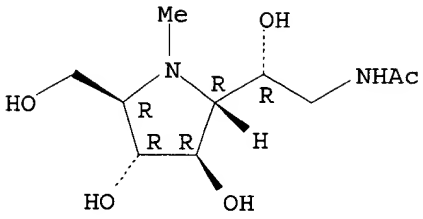

RN 231618-77-2 CAPLUS
CN Acetamide, N-[(2R)-2-[(2R,3R,4R,5R)-3,4-dihydroxy-5-(hydroxymethyl)-2-pyrrolidinyl]-2-hydroxyethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



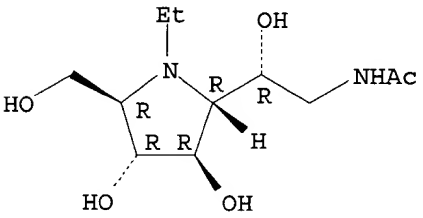

RN 231618-78-3 CAPLUS
CN Acetamide, N-[(2R)-2-[(2R,3R,4R,5R)-3,4-dihydroxy-5-(hydroxymethyl)-1-methyl-2-pyrrolidinyl]-2-hydroxyethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.




RN 231618-80-7 CAPLUS
CN Acetamide, N-[(2R)-2-[(2R,3R,4R,5R)-1-ethyl-3,4-dihydroxy-5-(hydroxymethyl)-2-pyrrolidinyl]-2-hydroxyethyl]- (9CI) (CA INDEX NAME)

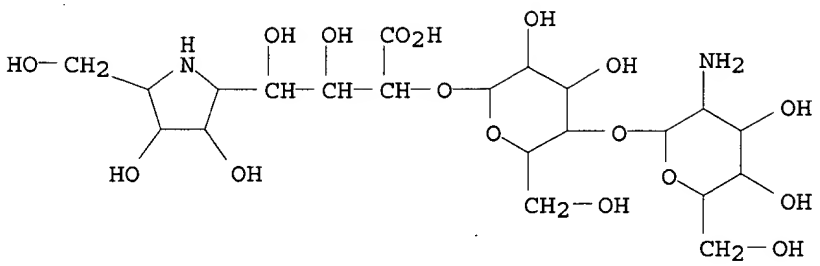
Absolute stereochemistry.




REFERENCE COUNT: 56 THERE ARE 56 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

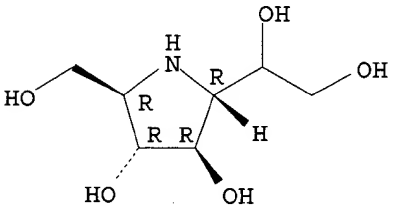
L4 ANSWER 8 OF 24 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1998:477660 CAPLUS
DOCUMENT NUMBER: 129:241900
TITLE: Isolation by ion-exchange methods
AUTHOR(S): Dufresne, Claude
CORPORATE SOURCE: Merck Research Laboratories, Rahway, NJ, USA
SOURCE: Methods in Biotechnology (1998), 4(Natural Products Isolation), 141-164
CODEN: MEBIFQ
PUBLISHER: Humana Press Inc.
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English
AB A review with 13 refs. The study discusses ion exchange methods for the isolation of natural products. Theory, various chromatog. exchangers and their applications are disclosed.
IT **161017-23-8P**, Gualamycin
RL: PUR (Purification or recovery); PREP (Preparation)
(isolation of natural products by ion-exchange methods)
RN 161017-23-8 CAPLUS
CN 2-Pyrrolidinebutanoic acid, .alpha.-[[4-O-(2-amino-2-deoxy-.beta.-D-gulopyranosyl)-.alpha.-D-galactopyranosyl]oxy]-.beta.,.gamma.,3,4-tetrahydroxy-5-(hydroxymethyl)-, (.alpha.R,.beta.S,.gamma.S,2S,3S,4S,5S)- (9CI) (CA INDEX NAME)

09980869



L4 ANSWER 9 OF 24 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1998:391737 CAPLUS
DOCUMENT NUMBER: 129:52086
TITLE: .alpha.-Homonojirimycin from Hyacinthus orientalis L.
AUTHOR(S): Kite, Geoffrey C.; Sellwood, Chloe; Wilkin, Paul; Simmonds, Monique S. J.
CORPORATE SOURCE: The Jodrell Laboratory, Royal Botanic Gardens, Surrey, TW9 3AB, UK
SOURCE: Biochemical Systematics and Ecology (1998), 26(3), 357-359
CODEN: BSECBU; ISSN: 0305-1978
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB .alpha.-Homonojirimycin was isolated together with some related compds. from the leaves of 4 subspecies of H. orientalis, but was not found in other Hyacynthaceae. The chemotax. significance of this finding is discussed.
IT **197390-30-0P**
RL: BOC (Biological occurrence); BSU (Biological study, unclassified); PUR (Purification or recovery); BIOL (Biological study); OCCU (Occurrence); PREP (Preparation)
(.alpha.-homonojirimycin and related compds. from Hyacinthus orientalis)
RN 197390-30-0 CAPLUS
CN 2,5-Pyrrolidinedimethanol, 3,4-dihydroxy-.alpha.-(hydroxymethyl)-, (2R,3R,4R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 10 OF 24 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1998:270024 CAPLUS
DOCUMENT NUMBER: 128:280836
TITLE: Nitrogen-Containing Furanose and Pyranose Analogs from Hyacinthus orientalis
AUTHOR(S): Asano, Naoki; Kato, Atsushi; Miyauchi, Miwa; Kizu, Haruhisa; Kameda, Yukihiko; Watson, Alison A.; Nash, Robert J.; Fleet, George W. J.
CORPORATE SOURCE: Faculty of Pharmaceutical Sciences, Hokuriku

09980869

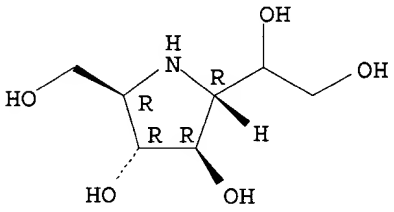
University, Kanazawa, 920-11, Japan
SOURCE: Journal of Natural Products (1998), 61(5), 625-628
CODEN: JNPRDF; ISSN: 0163-3864
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Aq. methanol exts. from the bulbs of Hyacinthus orientalis were subjected to various ion-exchange column chromatog. steps to give 2(R),5(R)-bis(hydroxymethyl)-3(R),4(R)-dihydroxypyrrolidine (DMDP) (1), 2,5-dideoxy-2,5-imino-DL-glycero-D-manno-heptitol (homoDMDP) (2), 2,5-imino-2,5,6-trideoxy-D-manno-heptitol (6-deoxy-homoDMDP) (3), 2,5-imino-2,5,6-trideoxy-D-gulo-heptitol (4), 1-deoxynojirimycin (5), 1-deoxymannojirimycin (6), .alpha.-homonojirimycin (7), .beta.-homonojirimycin (8), .alpha.-homomannojirimycin (9), .beta.-homomannojirimycin (10), and 7-O-.beta.-D-glucopyranosyl-.alpha.-homonojirimycin (MDL 25,637) (11). The structures of the new natural products 3 and 4 were detd. by spectroscopic anal., including extensive 1D and 2D NMR studies. Compd. 2 was a potent inhibitor of bacterial .beta.-glucosidase, mammalian .beta.-galactosidases, and mammalian trehalases, while 3 was a potent inhibitor of rice .alpha.-glucosidase and rat intestinal maltase. Compd. 4 was obsd. to be a good inhibitor of .alpha.-L-fucosidase.

IT **197390-30-0P**
RL: BAC (Biological activity or effector, except adverse); BOC (Biological occurrence); BSU (Biological study, unclassified); PUR (Purification or recovery); BIOL (Biological study); OCCU (Occurrence); PREP (Preparation)
(isolation of nitrogen-contg. furanose and pyranose analogs from Hyacinthus orientalis)

RN 197390-30-0 CAPLUS
CN 2,5-Pyrrolidinedimethanol, 3,4-dihydroxy-.alpha.-(hydroxymethyl)-, (2R,3R,4R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.




L4 ANSWER 11 OF 24 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1997:628563 CAPLUS
DOCUMENT NUMBER: 127:305337
TITLE: Glycosidase-inhibiting pyrrolidine alkaloids from Hyacinthoides non-scripta
AUTHOR(S): Watson, Alison A.; Nash, Robert J.; Wormald, Mark R.; Harvey, David J.; Dealler, Stephen; Lees, Eileen; Asano, Naoki; Kizu, Haruhisa; Kato, Atsushi; Griffiths, Rhodri C.; Cairns, Andrew J.; Fleet, George W. J.
CORPORATE SOURCE: Chemistry Group, Institute of Grassland and Environmental Research, Aberystwyth, SY23 3EB, UK
SOURCE: Phytochemistry (1997), 46(2), 255-259
CODEN: PYTCAS; ISSN: 0031-9422
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English

09980869

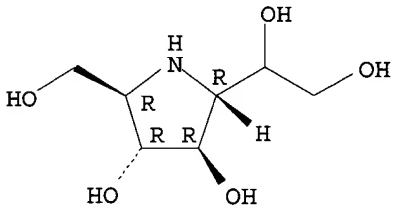
AB The glycosidase-inhibiting pyrrolidine alkaloids (2R,3R,4R,5R)-2,5-dihydroxymethyl-3,4-dihydroxy-pyrrolidine (DMDP), 2,5-dideoxy-2,5-imino-DL-glycero-D-manno-heptitol (homoDMDP), homoDMDP-7-O-apioside and 1,4-dideoxy-1,4-imino-D-arabinitol have been identified in the leaves of bluebells (Hyacinthoides non-scripta). HomoDMDP and homoDMDP-7-O-apioside are new natural products. Glycosidase inhibition by the aglycons is compared and could explain the symptoms of poisoning of livestock by bluebells.

IT **197390-30-0P**
RL: BAC (Biological activity or effector, except adverse); BOC (Biological occurrence); BSU (Biological study, unclassified); PUR (Purification or recovery); BIOL (Biological study); OCCU (Occurrence); PREP (Preparation)
(glycosidase-inhibiting pyrrolidine alkaloids from Hyacinthoides non-scripta)

RN 197390-30-0 CAPLUS

CN 2,5-Pyrrolidinedimethanol, 3,4-dihydroxy-.alpha.-(hydroxymethyl)-, (2R,3R,4R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.




L4 ANSWER 12 OF 24 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1997:626353 CAPLUS

DOCUMENT NUMBER: 127:278377

TITLE: Inhibition of UDP-Gal mutase and mycobacterial galactan biosynthesis by pyrrolidine analogs of galactofuranose

AUTHOR(S): Lee, Richard E.; Smith, Martin D.; Nash, Robert J.; Griffiths, Rhodri C.; McNeil, Michael; Grewal, Ravinder K.; Yan, Wenxin; Besra, Gurdyal S.; Brennan, Patrick J.; Fleet, Geeorge W. J.

CORPORATE SOURCE: Dyson Perrins Lab., Oxford Univ., Oxford, OX1 3QY, UK

SOURCE: Tetrahedron Letters (1997), 38(38), 6733-6736
CODEN: TELEAY; ISSN: 0040-4039

PUBLISHER: Elsevier

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Some pyrrolidine analogs of galactofuranose - synthesized from carbohydrate lactones - are the first known inhibitors of E. coli K12 UDP-Gal mutase and mycobacterial galactan biosynthesis. This inhibition may form a new chemotherapeutic strategy for the treatment of human pathogens which contain integral galactofuranosyl structures such as tuberculosis and leprosy.

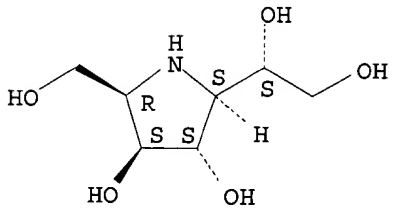
IT **196494-58-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(inhibition of UDP-Gal mutase and mycobacterial galactan biosynthesis by pyrrolidine analogs of galactofuranose)

RN 196494-58-3 CAPLUS

CN 2,5-Pyrrolidinedimethanol, 3,4-dihydroxy-.alpha.-(hydroxymethyl)-, [2S-[2.alpha.(R*),3.beta.,4.alpha.,5.alpha.]]- (9CI) (CA INDEX NAME)

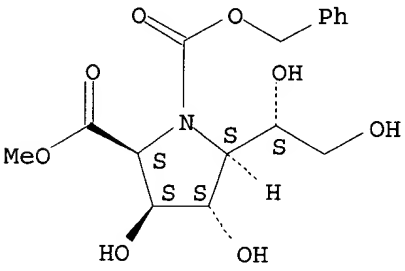
09980869

Absolute stereochemistry. Rotation (-).



IT **196494-75-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(inhibition of UDP-Gal mutase and mycobacterial galactan biosynthesis by pyrrolidine analogs of galactofuranose)
RN 196494-75-4 CAPLUS
CN 1,2-Pyrrolidinedicarboxylic acid, 5-(1,2-dihydroxyethyl)-3,4-dihydroxy-, 2-methyl 1-(phenylmethyl) ester, [2S-[2.alpha.,3.alpha.,4.beta.,5.alpha.(R*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



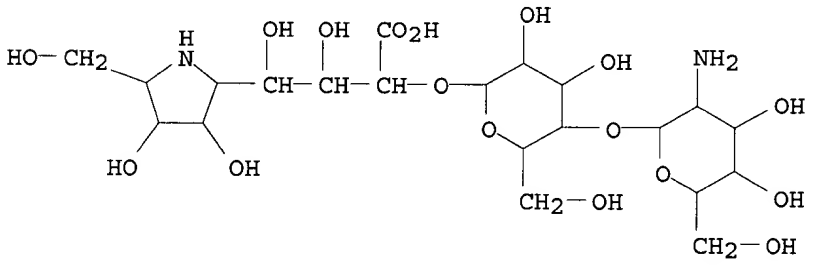
L4 ANSWER 13 OF 24 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1996:460373 CAPLUS
DOCUMENT NUMBER: 125:222299
TITLE: Total synthesis and chemical design of useful glycosidase inhibitors
AUTHOR(S): Tatsuta, Kuniaki
CORPORATE SOURCE: Graduate School Science and Engineering, Waseda Univ., Tokyo, 169, Japan
SOURCE: Pure and Applied Chemistry (1996), 68(6), 1341-1346
CODEN: PACHAS; ISSN: 0033-4545
PUBLISHER: Blackwell
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The glycosidase inhibitors, cyclophellitol, nagstatin, and gualamycin, which are microbial metabolites, and their analogs have been synthesized from carbohydrates to clarify their structure-activity relationships. The synthesis of cyclophellitols including the aziridine and thiirane analogs was mainly based on the stereospecific intramol. [3+2] cycloaddn. of a nitrile oxide to an olefin. Nagstatins including a variety of hydroxyl analogs were synthesized by inter- and intramol. nucleophilic reaction of the imidazole moieties. Their glycosidase inhibiting activities were quite substrate-specific, indicating that the glycosidases recognize esp. each carbons and configurations of the glycosidase inhibitors, and consequently, the inhibitors serve as antagonists of the corresponding

glycopyranosides. Total synthesis of gualamycin was accomplished by glycosidation of a thio-phenol deriv. of the disaccharide portion with a pyrrolidine-aglycon. The anti-mite activity of gualamycin was suggested to be due to its maltase inhibiting activity.

IT **161017-23-8P**, Gualamycin
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(total synthesis of cyclophellitol and nagstatin and gualamycin as glycosidase inhibitors)

RN 161017-23-8 CAPLUS

CN 2-Pyrrolidinebutanoic acid, .alpha.-[[4-O-(2-amino-2-deoxy-.beta.-D-gulopyranosyl)-.alpha.-D-galactopyranosyl]oxy]-.beta.,.gamma.,3,4-tetrahydroxy-5-(hydroxymethyl)-, (.alpha.R,.beta.S,.gamma.S,2S,3S,4S,5S)-(9CI) (CA INDEX NAME)

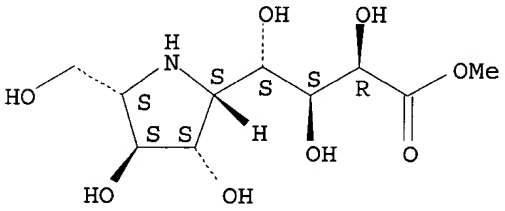


IT **171074-53-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(total synthesis of cyclophellitol and nagstatin and gualamycin as glycosidase inhibitors)

RN 171074-53-6 CAPLUS

CN 2-Pyrrolidinebutanoic acid, .alpha.,.beta.,.gamma.,3,4-pentahydroxy-5-(hydroxymethyl)-, methyl ester, hydrochloride, [2S-[2.alpha.(.alpha.S*,.beta.R*,.gamma.R*),3.alpha.,4.beta.,5.alpha.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



● HCl

L4 ANSWER 14 OF 24 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1996:138149 CAPLUS
DOCUMENT NUMBER: 124:165229
TITLE: Anthelmintics containing antibiotic NK 11687 for animals
INVENTOR(S): Yamashita, Shinobu; Asano, Takeshi; Tsucha, Koichi;

09980869

| | |
|---|---|
| | Nishigori, Takaaki |
| PATENT ASSIGNEE(S): | Nippon Kayaku Kk, Japan |
| SOURCE: | Jpn. Kokai Tokkyo Koho, 5 pp.<br>CODEN: JKXXAF |
| DOCUMENT TYPE: | Patent |
| LANGUAGE: | Japanese |
| FAMILY ACC. NUM. COUNT: | 1 |

PATENT INFORMATION:

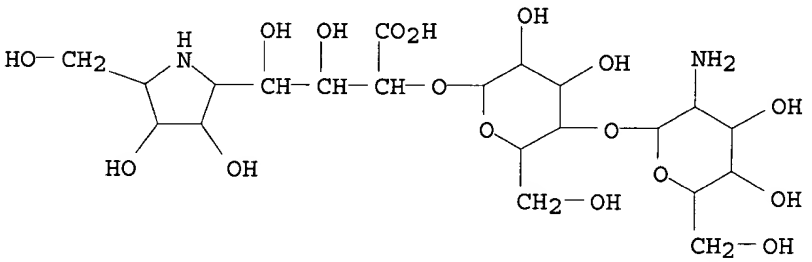
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| JP 07330616 | A2 | 19951219 | JP 1994-150650 | 19940609 |

AB Anthelmintics for animals contg. NK 11687 (I) or its pharmacol. acceptable salts as an active ingredient are claimed. Forced oral administration of I (30 mg/kg) to mice having pin worm eggs at the fundament for 3 days reduced the no. of pin worms in the intestine and eggs at the fundament from 27.2 to 5.7 and from 41.6 to 4.7, resp. Tablets contg. I were also formulated.

IT **161017-23-8**, NK 11687
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(anthelmintics for animals)

RN 161017-23-8 CAPLUS

CN 2-Pyrrolidinebutanoic acid, .alpha.-[[4-O-(2-amino-2-deoxy-.beta.-D-gulopyranosyl)-.alpha.-D-galactopyranosyl]oxy]-.beta.,.gamma.,3,4-tetrahydroxy-5-(hydroxymethyl)-, (.alpha.R,.beta.S,.gamma.S,2S,3S,4S,5S)-(9CI) (CA INDEX NAME)



L4 ANSWER 15 OF 24 CAPLUS COPYRIGHT 2002 ACS

| | |
|---|---|
| ACCESSION NUMBER: | 1996:78024 CAPLUS |
| DOCUMENT NUMBER: | 124:232908 |
| TITLE: | Total synthesis of acaricidal gualamycin |
| AUTHOR(S): | Tatsuta, Kuniaki; Kitagawa, Masayuki |
| CORPORATE SOURCE: | Graduate School of Science and Engineering, Waseda University, Japan |
| SOURCE: | Tennen Yuki Kagobutsu Toronkai Koen Yoshishu (1995), 37th, 97-102<br>CODEN: TYKYDS |
| PUBLISHER: | Nippon Kagakkai |
| DOCUMENT TYPE: | Journal |
| LANGUAGE: | Japanese |

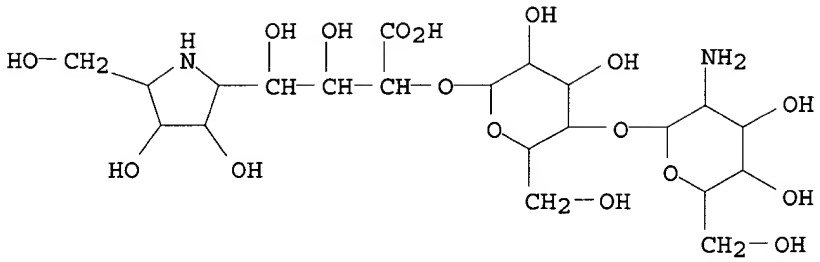
AB A report from a symposium describing the total synthesis of gualamycin.

IT **161017-23-8P**, Gualamycin
RL: SPN (Synthetic preparation); PREP (Preparation)
(total synthesis of acaricidal gualamycin)

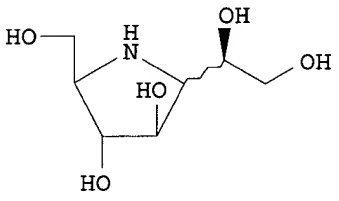
RN 161017-23-8 CAPLUS

CN 2-Pyrrolidinebutanoic acid, .alpha.-[[4-O-(2-amino-2-deoxy-.beta.-D-gulopyranosyl)-.alpha.-D-galactopyranosyl]oxy]-.beta.,.gamma.,3,4-tetrahydroxy-5-(hydroxymethyl)-, (.alpha.R,.beta.S,.gamma.S,2S,3S,4S,5S)-(9CI) (CA INDEX NAME)

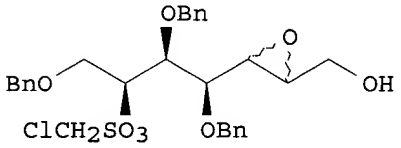
09980869



L4 ANSWER 16 OF 24 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1995:913184 CAPLUS
DOCUMENT NUMBER: 124:117800
TITLE: Synthesis and inhibition analysis of five-membered homoaza sugars from D-arabinofuranose via an SN2 reaction of the chloromethylsulfonate
AUTHOR(S): Hiranuma, Sayoko; Shimizu, Takeshi; Nakata, Tadashi; Kajimoto, Tetsuya; Wong, Chi-Huey
CORPORATE SOURCE: Inst. Phys. Chem. Res. (RIKEN), Saitama, 351-01, Japan
SOURCE: Tetrahedron Letters (1995), 36(45), 8247-50
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I



II

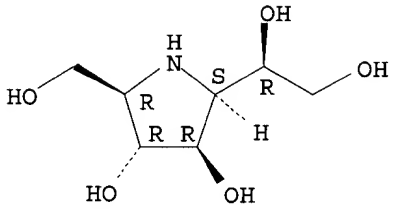
AB Five-membered homoaza sugars I having a side-chain which can be modified to other functional groups or linked to other aglycon moieties were prepd. from 2,3,5-tri-O-benzyl-D-arabinofuranose via a favorable SN2 reaction of the chloromethylsulfonate II with sodium azide. The obtained aza sugars were potent inhibitors of the .beta.-glucosidase from sweet almond.

IT **173142-29-5P 173142-30-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis and .beta.-glucosidase inhibition anal. of aza sugars from arabinofuranose via azidolysis of chloromethylsulfonate sugar)

RN 173142-29-5 CAPLUS
CN 2,5-Pyrrolidinedimethanol, 3,4-dihydroxy-.alpha.-(hydroxymethyl)-, (.alpha.R,2S,3R,4R,5R)- (9CI) (CA INDEX NAME)

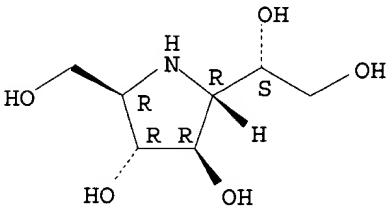
Absolute stereochemistry. Rotation (+).

09980869

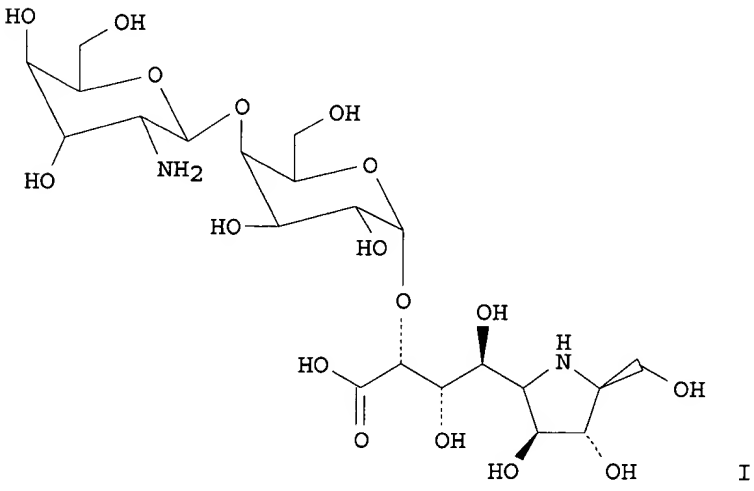


RN 173142-30-8 CAPLUS
CN 2,5-Pyrrolidinedimethanol, 3,4-dihydroxy-.alpha.-(hydroxymethyl)-, (.alpha.S,2R,3R,4R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L4 ANSWER 17 OF 24 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1995:825857 CAPLUS
DOCUMENT NUMBER: 124:56497
TITLE: Total synthesis of an acaricide, gualamycin
AUTHOR(S): Tatsuta, Kuniaki; Kitagawa, Masayuki
CORPORATE SOURCE: Graduate Sch. of Science and Eng., Waseda Univ., Tokyo, 169, Japan
SOURCE: Tetrahedron Letters (1995), 36(37), 6717-20
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

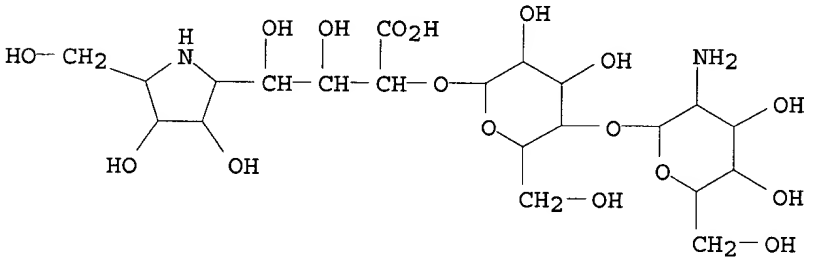
09980869

AB The first enantiospecific total synthesis of gualamycin I has been accomplished by coupling the thio glycoside of the amino-disaccharide portion with the strained di-O-benzylidene deriv. of the pyrrolidine-aglycon.

IT **172161-73-8P**, Gualamycin dihydrochloride
RL: SPN (Synthetic preparation); PREP (Preparation)
(total synthesis of acaricide gualamycin)

RN 172161-73-8 CAPLUS

CN 2-Pyrrolidinebutanoic acid, .alpha.-[[4-O-(2-amino-2-deoxy-.beta.-D-gulopyranosyl)-.alpha.-D-galactopyranosyl]oxy]-.beta.,.gamma.,3,4-tetrahydroxy-5-(hydroxymethyl)-, dihydrochloride, [2S-[2.alpha.(.alpha.S*,.beta.R*,.gamma.R*),3.alpha.,4.beta.,5.alpha.]]- (9CI) (CA INDEX NAME)



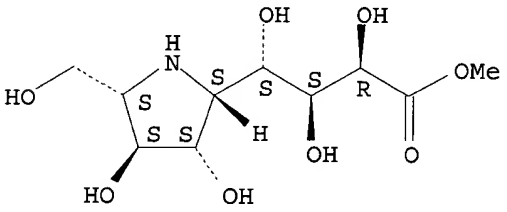
●2 HCl

IT **172161-74-9**
RL: RCT (Reactant); RACT (Reactant or reagent)
(total synthesis of an acaricide gualamycin)

RN 172161-74-9 CAPLUS

CN 2-Pyrrolidinebutanoic acid, .alpha.,.beta.,.gamma.,3,4-pentahydroxy-5-(hydroxymethyl)-, methyl ester, [2S-[2.alpha.(.alpha.S*,.beta.R*,.gamma.R*),3.alpha.,4.beta.,5.alpha.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 18 OF 24 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1995:721964 CAPLUS

DOCUMENT NUMBER: 124:9205

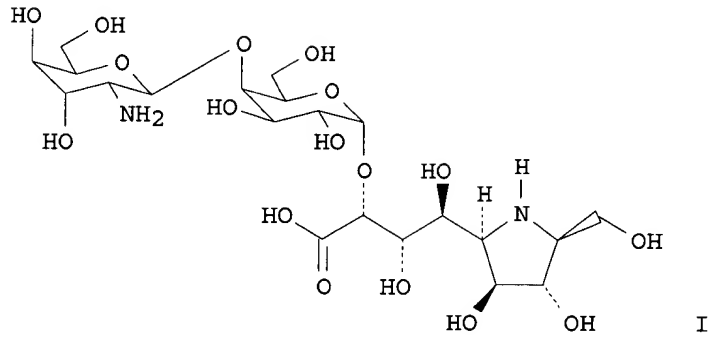
TITLE: Syntheses and absolute structures of the disaccharide and aglycon of Acaricidal gualamycin

AUTHOR(S): Tatsuta, Kuniaki; Kitragawa, Masayuki; Horiuchi, Takao; Tsuchiya, Kouichi; Shimada, Nobuyoshi

CORPORATE SOURCE: Graduate School Science Engineering, Waseda Univ., Tokyo, 169, Japan

09980869

SOURCE: Journal of Antibiotics (1995), 48(7), 741-4
CODEN: JANTAJ; ISSN: 0021-8820
PUBLISHER: Japan Antibiotics Research Association
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



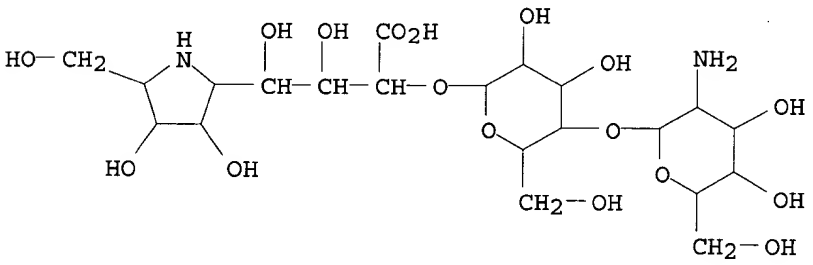
I

AB Abs. structures of the disaccharide and aglycon of acaricidal gualamycin I are reported.

IT **161017-23-8**, Gualamycin
RL: PRP (Properties)
(Acaricidal; syntheses and abs. structures of the disaccharide and aglycon of Acaricidal gualamycin)

RN 161017-23-8 CAPLUS

CN 2-Pyrrolidinebutanoic acid, .alpha.-[[4-O-(2-amino-2-deoxy-.beta.-D-gulopyranosyl)-.alpha.-D-galactopyranosyl]oxy]-.beta.,.gamma.,3,4-tetrahydroxy-5-(hydroxymethyl)-, (.alpha.R,.beta.S,.gamma.S,2S,3S,4S,5S)-(9CI) (CA INDEX NAME)



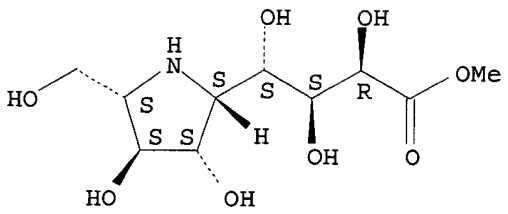
IT **171074-53-6P**
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(syntheses and abs. structures of the disaccharide and aglycon of Acaricidal gualamycin)

RN 171074-53-6 CAPLUS

CN 2-Pyrrolidinebutanoic acid, .alpha.,.beta.,.gamma.,3,4-pentahydroxy-5-(hydroxymethyl)-, methyl ester, hydrochloride, [2S-[2.alpha.(.alpha.S*,.beta.R*,.gamma.R*),3.alpha.,4.beta.,5.alpha.]]- (9CI) (CA INDEX NAME)

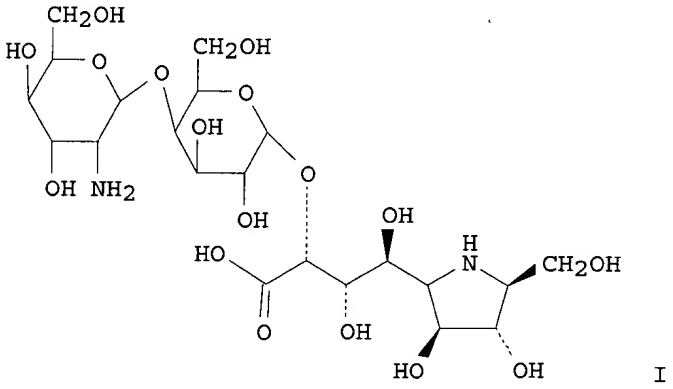
Absolute stereochemistry. Rotation (+).

09980869



● HCl

L4 ANSWER 19 OF 24 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1995:721944 CAPLUS
DOCUMENT NUMBER: 124:81571
TITLE: Gualamycin, a novel acaricide produced by Streptomyces sp. NK11687. II. Structural elucidation
AUTHOR(S): Tsuchiya, Kouichi; Kobayashi, Shinichi; Kurokawa, Takashi; Nakagawa, Taizo; Shimada, Nobuyoshi
CORPORATE SOURCE: Applied Microbiology Res. Center, Nippon Kayaku Co., Ltd., Saitama, 362, Japan
SOURCE: Journal of Antibiotics (1995), 48(7), 630-4
CODEN: JANTAJ; ISSN: 0021-8820
PUBLISHER: Japan Antibiotics Research Association
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

AB A novel acaricide, gualamycin (I), was previously isolated from the culture broth of Streptomyces sp. NK11687. The structure of I was detd. by FAB-MS, 1H and 13C NMR, COSY, HMQC, HMBC, IR, x-ray crystallog. analyses and synthetic studies.

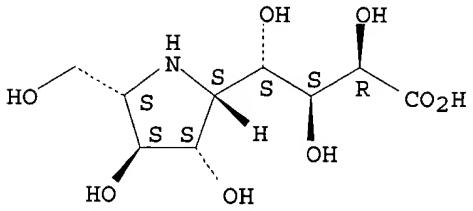
IT **166449-31-6P**
RL: PRP (Properties); PUR (Purification or recovery); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 166449-31-6 CAPLUS

CN 2-Pyrrolidinebutanoic acid, .alpha.,.beta.,.gamma.,3,4-pentahydroxy-5-(hydroxymethyl)-, hydrochloride, [2S-[2.alpha.(.alpha.S*,.beta.R*,.gamma.R*),3.alpha.,4.beta.,5.alpha.]]- (9CI) (CA INDEX NAME)

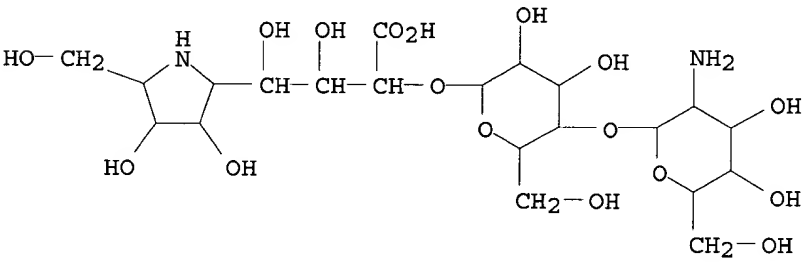
09980869

Absolute stereochemistry. Rotation (+).



● HCl

IT **161017-23-8**, Gualamycin
RL: PRP (Properties); RCT (Reactant); RACT (Reactant or reagent)
(structure of gualamycin, a novel acaricide from Streptomyces NK11687)
RN 161017-23-8 CAPLUS
CN 2-Pyrrolidinebutanoic acid, .alpha.-[[4-O-(2-amino-2-deoxy-.beta.-D-gulopyranosyl)-.alpha.-D-galactopyranosyl]oxy]-.beta.,.gamma.,3,4-tetrahydroxy-5-(hydroxymethyl)-, (.alpha.R,.beta.S,.gamma.S,2S,3S,4S,5S)-(9CI) (CA INDEX NAME)

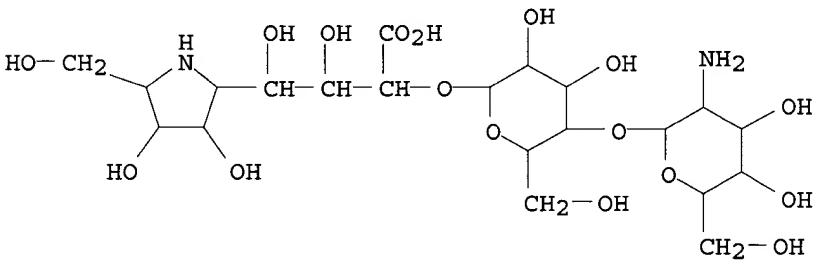


L4 ANSWER 20 OF 24 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1995:721943 CAPLUS
DOCUMENT NUMBER: 123:164757
TITLE: Gualamycin, a novel acaricide produced by Streptomyces sp. NK11687. I. Taxonomy, production, isolation, and preliminary characterization
AUTHOR(S): Tsuchiya, Kouichi; Kobayashi, Shinichi; Harada, Takashi; Kurokawa, Takashi; Nakagawa, Taizo; Shimada, Nobuyoshi
CORPORATE SOURCE: Applied Microbiology Res. Center, Nippon Kayaku Co., Ltd., Saitama, 362, Japan
SOURCE: Journal of Antibiotics (1995), 48(7), 626-9
CODEN: JANTAJ; ISSN: 0021-8820
PUBLISHER: Japan Antibiotics Research Association
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A novel acaricide, gualamycin, was isolated from the culture broth of Streptomyces sp. NK11687. It was purified from the filtrate by column chromatogs. Gualamycin showed 1005 acaricidal activity at 250 .mu.g/mL against sensitive and resistant mites to Dicofol.
IT **161017-23-8P**, Gualamycin
RL: BAC (Biological activity or effector, except adverse); BOC (Biological occurrence); BPN (Biosynthetic preparation); BSU (Biological study, unclassified); PRP (Properties); PUR (Purification or recovery); BIOL

(Biological study); OCCU (Occurrence); PREP (Preparation)
(manuf., isolation, and characterization of gualamycin, a novel acaricide from Streptomyces NK11687)

RN 161017-23-8 CAPLUS

CN 2-Pyrrolidinebutanoic acid, .alpha.-[[4-O-(2-amino-2-deoxy-.beta.-D-gulopyranosyl)-.alpha.-D-galactopyranosyl]oxy]-.beta.,.gamma.,3,4-tetrahydroxy-5-(hydroxymethyl)-, (.alpha.R,.beta.S,.gamma.S,2S,3S,4S,5S)-(9CI) (CA INDEX NAME)



L4 ANSWER 21 OF 24 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1995:350824 CAPLUS

DOCUMENT NUMBER: 122:125991

TITLE: Insecticides and miticides containing an aminoglycoside as active agent

INVENTOR(S): Tsucha, Koichi; Kobayashi, Kenji; Fukushima, Sumiko; Saito, Mikio; Hayaoka, Tatsumi; Kurokawa, Takashi; Nakagawa, Taizo

PATENT ASSIGNEE(S): Nippon Kayaku Kk, Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 8 pp.
CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

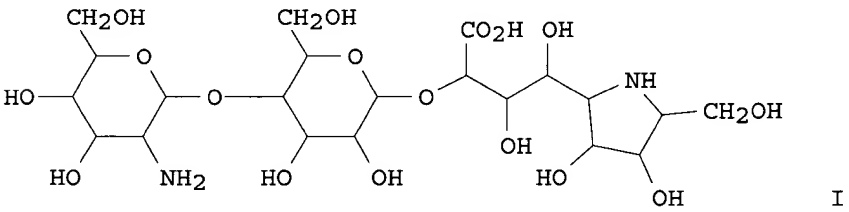
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| JP 06293755 | A2 | 19941021 | JP 1993-345464 | 19931222 |

PRIORITY APPLN. INFO.: JP 1993-15851 19930106

GI

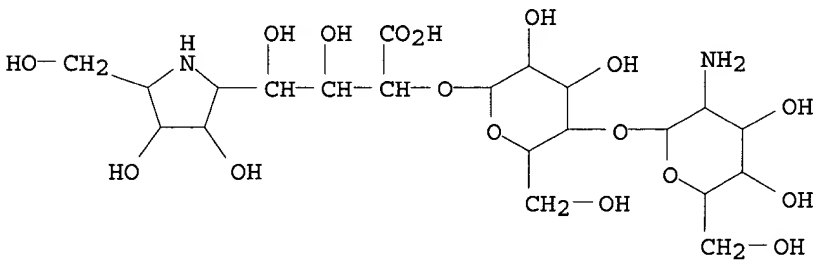


I

AB The active agent is called NK-11687 (I) obtained from the culture medium of Streptomyces and is effective against insects like Plutella maculipennis and Nilaparvata lugens, and against mites like Tetranychus urticae and Panonychus citri.

IT **161017-23-8**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except

adverse); BSU (Biological study, unclassified); BIOL (Biological study); USES (Uses)
(insecticides and miticides contg. aminoglycoside as active agent)
RN 161017-23-8 CAPLUS
CN 2-Pyrrolidinebutanoic acid, .alpha.-[[4-O-(2-amino-2-deoxy-.beta.-D-gulopyranosyl)-.alpha.-D-galactopyranosyl]oxy]-.beta.,.gamma.,3,4-tetrahydroxy-5-(hydroxymethyl)-, (.alpha.R,.beta.S,.gamma.S,2S,3S,4S,5S)-(9CI) (CA INDEX NAME)



L4 ANSWER 22 OF 24 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1994:218422 CAPLUS
DOCUMENT NUMBER: 120:218422
TITLE: Preparation of pyrrolidin-3,4-diol derivatives of heptitols as inhibitors of glycosidases
INVENTOR(S): Fleet, George W. J.; Winchester, Bryan G.
PATENT ASSIGNEE(S): Monsanto Co., USA
SOURCE: U.S., 14 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 5250703 | A | 19931005 | US 1992-954199 | 19920929 |
| US 5300659 | A | 19940405 | US 1993-75940 | 19930614 |
| US 5384417 | A | 19950124 | US 1994-181716 | 19940118 |

PRIORITY APPLN. INFO.: US 1992-954199 19920929
US 1993-75940 19930614
OTHER SOURCE(S): CASREACT 120:218422
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

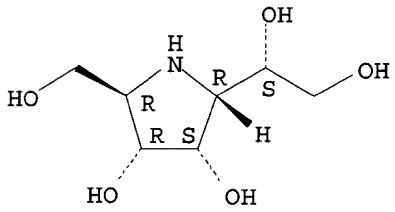
AB Novel heptitol analogs of mannofuranose, namely 2,5-dideoxy-2,5-imino-D-glycero-D-talo-heptitol (I) and 1-amino-2,5-anhydro-1-deoxy-D-glycero-D-talo-heptitol, (aminomethyl)deoxymannofuranose, (II) were prepd. from 3,4:6,7-di-O-isopropylidene-D-glycero-D-talo-heptono-1,5-lactone deriv. (III; X = N3, X1 = H) and 3,4:6,7-di-O-isopropylidene-D-glycero-D-galacto-heptono-1,5-lactone III (X = H, X1 = OH), resp. Thus, redn. of azidolactone (X = N3, X1 = H) with (Me2CHCH2)2AlH in THF at -70.degree. to -20.degree. to 2-azido-2-deoxy-3,4:6,7-di-O-isopropylidene-D-glycero-D-talo-heptose followed by redn. with NaBH4 in MeOH gave 2-azido-2-deoxy-D-glycero-D-talo-heptitol deriv. (IV; R = R1 = H, R2R2 = CMe2). Selective silylation of the latter compd. by Me3CSiPh2Cl in DMF

contg. imidazole followed by mesylation with MeSO2Cl in pyridine contg. 4-dimethylaminopyridine and selective deacetonation with 80% aq. AcOH in 1,4-dioxane at 50.degree. gave IV (R = Me3CSiPh2, R1 = MeSO(2, R2 = H). Treatment of the latter compd. with Ba(OMe)2 in MeOH at 0.degree. to room temp. gave an epoxide (V) which was hydrogenated over Pd black in EtOH to give, after deprotection with aq. CF3CO2H and salt formation with dil. HCl, I.HCl. I, which is considered structurally as a deriv. of the known .alpha.-mannosidase inhibitor, deoxymannojirimycin, was about twice as effective against .alpha.-mannosidase but much less potent against .alpha.-fucosidase. On the other hand, II was a moderate inhibitor of .alpha.-fucosidase but relatively inactive against .alpha.-mannosidases.

IT **146399-47-5P 154170-59-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as glycosidase inhibitor)

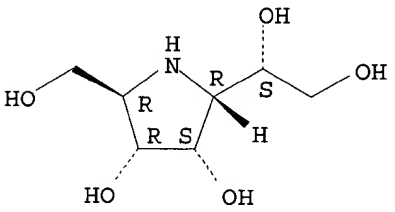
RN 146399-47-5 CAPLUS
CN 2,5-Pyrrolidinedimethanol, 3,4-dihydroxy-.alpha.-(hydroxymethyl)-, [2R-[2.alpha.(S*),3.alpha.,4.alpha.,5.beta.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.




RN 154170-59-9 CAPLUS
CN 2,5-Pyrrolidinedimethanol, 3,4-dihydroxy-.alpha.-(hydroxymethyl)-, hydrochloride, [2R-[2.alpha.(S*),3.alpha.,4.alpha.,5.beta.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.




● HCl

L4 ANSWER 23 OF 24 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1993:443982 CAPLUS
DOCUMENT NUMBER: 119:43982
TITLE: The structural basis of the inhibition of human .alpha.-mannosidases by azafuranose analogs of mannose
AUTHOR(S): Winchester, Bryan; Al Daher, Samer; Carpenter, Neil C.; Cenci di Bello, Isabelle; Choi, Samuel S.; Fairbanks, Antony J.; Fleet, George W. J.
CORPORATE SOURCE: Inst. Child Health, Univ. London, London, WC1N 1EH, UK
SOURCE: Biochemical Journal (1993), 290(3), 743-9

09980869

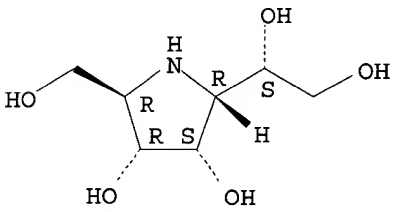
CODEN: BIJOAK; ISSN: 0306-3275
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Eight pyrrolidine, five pyrrolizidine and one indolizidine analog(s) of a known .alpha.-mannosidase inhibitor, the azafuranose, 1,4-dideoxy-1,4-imino-D-mannitol (DIM), have been tested for inhibition of multiple forms of .alpha.-mannosidase of human liver in vitro. Substitution of the ring nitrogen markedly decreased or abolished inhibition, but loss of the C-6 hydroxy group, as in 6-deoxy-DIM and 6-deoxy-6-fluoro-DIM, enhanced inhibition, particularly the lysosomal .alpha.-mannosidase. Addn. of the anomeric substituent-CH2OH decreased inhibition. To be a potent inhibitor of the lysosomal, Golgi II, and neutral .alpha.-mannosidases, a polyhydroxylated pyrrolidine must have the same substituents and chirality as mannofuranose at C-2, C-3, C-4 and C-5. These four chiral centers can also be part of a polyhydroxylated indolizidine, e.g. swainsonine, but not of a pyrrolizidine, e.g. cyclized DIM, ring-contracted swainsonine or 1,7-diepi-australine. DIM did not inhibit lysosomal .alpha.-mannosidase intracellularly, but both 6-deoxy-DIM and 6-deoxy-6-fluoro-DIM caused accumulation of partially catabolized glycans in normal human fibroblasts. Anal. of these induced storage products by HPLC showed that both compds. also inhibited Golgi .alpha.-mannosidase II and that 6-deoxy-6-fluoro-DIM was also a good inhibitor of the endoplasmic reticulum .alpha.-mannosidase and specific lysosomal .alpha.-(1-6)-mannosidase. None of the mannofuranose analogs appeared to inhibit Golgi .alpha.-mannosidase I.

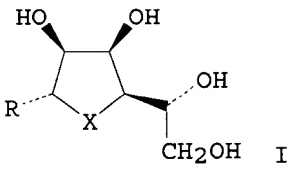
IT **146399-47-5**
RL: BIOL (Biological study)
(mannosidase multiple forms of human inhibition by, structure relation to)

RN 146399-47-5 CAPLUS
CN 2,5-Pyrrolidinedimethanol, 3,4-dihydroxy-.alpha.-(hydroxymethyl)-, [2R-[2.alpha.(S*),3.alpha.,4.alpha.,5.beta.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.




L4 ANSWER 24 OF 24 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1993:147933 CAPLUS
DOCUMENT NUMBER: 118:147933
TITLE: Inhibition of .alpha.-mannosidases by seven carbon sugars: synthesis of some seven carbon analogs of mannofuranose
AUTHOR(S): Myerscough, Paul M.; Fairbanks, Antony J.; Jones, Aled H.; Bruce, Ian; Choi, Sikman S.; Fleet, George W. J.; Al-Daher, Samer S.; Cenci di Bello, Isabelle; Winchester, Bryan
CORPORATE SOURCE: Dyson Perrins Lab., Oxford Univ., Oxford, OX1 3QY, UK
SOURCE: Tetrahedron (1992), 48(46), 10177-90
CODEN: TETRAB; ISSN: 0040-4020
DOCUMENT TYPE: Journal
LANGUAGE: English
GI




I

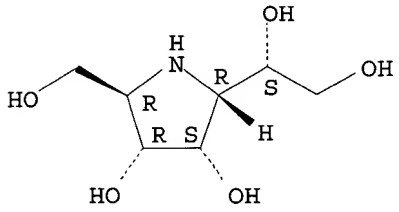
AB The syntheses of the seven carbon mannofuranose analogs, e.g. I (R = CH2OH, X = NH; R = CH2NH2, X = O), are described. The effects of I on human liver glycosidases are compared with those of deoxymannojirimycin and I (R = H, X = N).

IT **146399-47-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and glycosidase inhibition by)

RN 146399-47-5 CAPLUS

CN 2,5-Pyrrolidinedimethanol, 3,4-dihydroxy-.alpha.-(hydroxymethyl)-, [2R-[2.alpha.(S*),3.alpha.,4.alpha.,5.beta.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



09980869

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:SSSPTA1613SXW

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

```
 NEWS  1          Web Page URLs for STN Seminar Schedule - N. America
 NEWS  2  Apr 08  "Ask CAS" for self-help around the clock
 NEWS  3  Apr 09  BEILSTEIN: Reload and Implementation of a New Subject Area
 NEWS  4  Apr 09  ZDB will be removed from STN
 NEWS  5  Apr 19  US Patent Applications available in IFICDB, IFIPAT, and IFIUDB
 NEWS  6  Apr 22  Records from IP.com available in CAPLUS, HCAPLUS, and ZCAPLUS
 NEWS  7  Apr 22  BIOSIS Gene Names now available in TOXCENTER
 NEWS  8  Apr 22  Federal Research in Progress (FEDRIP) now available
 NEWS  9  Jun 03  New e-mail delivery for search results now available
 NEWS 10  Jun 10  MEDLINE Reload
 NEWS 11  Jun 10  PCTFULL has been reloaded
 NEWS 12  Jul 02  FOREGE no longer contains STANDARDS file segment
 NEWS 13  Jul 22  USAN to be reloaded July 28, 2002;
                  saved answer sets no longer valid
 NEWS 14  Jul 29  Enhanced polymer searching in REGISTRY
 NEWS 15  Jul 30  NETFIRST to be removed from STN
 NEWS 16  Aug 08  CANCERLIT reload
 NEWS 17  Aug 08  PHARMAMarketLetter(PHARMAML) - new on STN
 NEWS 18  Aug 08  NTIS has been reloaded and enhanced
 NEWS 19  Aug 19  Aquatic Toxicity Information Retrieval (AQUIRE)
                  now available on STN
 NEWS 20  Aug 19  IFIPAT, IFICDB, and IFIUDB have been reloaded
 NEWS 21  Aug 19  The MEDLINE file segment of TOXCENTER has been reloaded
 NEWS 22  Aug 26  Sequence searching in REGISTRY enhanced
 NEWS 23  Sep 03  JAPIO has been reloaded and enhanced
 NEWS 24  Sep 16  Experimental properties added to the REGISTRY file
 NEWS 25  Sep 16  Indexing added to some pre-1967 records in CA/CAPLUS
 NEWS 26  Sep 16  CA Section Thesaurus available in CAPLUS and CA
 NEWS 27  Oct 01  CASREACT Enriched with Reactions from 1907 to 1985
 NEWS 28  Oct 21  EVENTLINE has been reloaded
 NEWS 29  Oct 24  BEILSTEIN adds new search fields
 NEWS 30  Oct 24  Nutraceuticals International (NUTRACEUT) now available on STN
 NEWS 31  Oct 25  MEDLINE SDI run of October 8, 2002
 NEWS 32  Nov 18  DKILIT has been renamed APOLLIT
 NEWS 33  Nov 25  More calculated properties added to REGISTRY
 NEWS 34  Dec 02  TIBKAT will be removed from STN
 NEWS 35  Dec 04  CSA files on STN

 NEWS EXPRESS  October 14 CURRENT WINDOWS VERSION IS V6.01,
               CURRENT MACINTOSH VERSION IS V6.0a(ENG) AND V6.0Ja(JP),
               AND CURRENT DISCOVER FILE IS DATED 01 OCTOBER 2002
 NEWS HOURS    STN Operating Hours Plus Help Desk Availability
 NEWS INTER    General Internet Information
 NEWS LOGIN    Welcome Banner and News Items
 NEWS PHONE    Direct Dial and Telecommunication Network Access to STN
 NEWS WWW      CAS World Wide Web Site (general information)
```

09980869

Enter NEWS followed by the item number or name to see news on that specific topic.

All use of STN is subject to the provisions of the STN Customer agreement. Please note that this agreement limits use to scientific research. Use for software development or design or implementation of commercial gateways or other similar uses is prohibited and may result in loss of user privileges and other penalties.

* * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 10:01:01 ON 04 DEC 2002

=> fil reg

| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| FULL ESTIMATED COST | 0.21 | 0.21 |

FILE 'REGISTRY' ENTERED AT 10:01:10 ON 04 DEC 2002
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.


Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 3 DEC 2002 HIGHEST RN 475040-20-1
DICTIONARY FILE UPDATES: 3 DEC 2002 HIGHEST RN 475040-20-1

TSCA INFORMATION NOW CURRENT THROUGH MAY 20, 2002

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

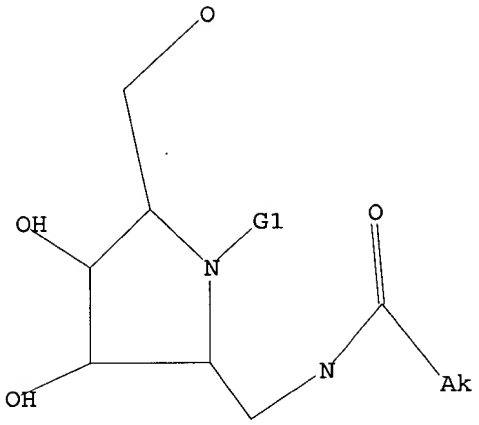
Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=>
Uploading 09980869.str

L1 STRUCTURE UPLOADED

=> d
L1 HAS NO ANSWERS
L1 STR

09980869




G1 H,Ak

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss sam
SAMPLE SEARCH INITIATED 10:01:31 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 20 TO ITERATE

100.0% PROCESSED 20 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 132 TO 668
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s l1 full
FULL SEARCH INITIATED 10:01:36 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 425 TO ITERATE

100.0% PROCESSED 425 ITERATIONS 16 ANSWERS
SEARCH TIME: 00.00.02

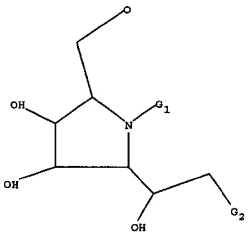
L3 16 SEA SSS FUL L1

=> fil caplus

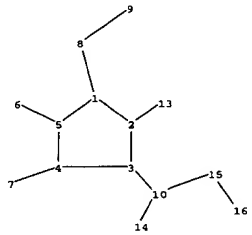
| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| FULL ESTIMATED COST | 140.28 | 140.49 |

FILE 'CAPLUS' ENTERED AT 10:01:42 ON 04 DEC 2002
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

C:\Program Files\Stnexp\Queries\09980869b.str






chain nodes :
6 7 8 9 10 13 14 15 16 17 18 19 20
ring nodes :
1 2 3 4 5
chain bonds :
1-8 2-13 3-10 4-7 5-6 8-9 10-14 10-15 15-16 17-18 18-19 18-20
ring bonds :
1-2 1-5 2-3 3-4 4-5
exact/norm bonds :
1-2 2-3 2-13 4-7 5-6 8-9 10-14 15-16 17-18 18-19 18-20
exact bonds :
1-5 1-8 3-4 3-10 4-5 10-15
isolated ring systems :
containing 1 :

G1:H,Ak

G2:OH,[*1]

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 9:CLASS 10:CLASS
13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS 20:CLASS

09980869



FILE COVERS 1907 - 4 Dec 2002 VOL 137 ISS 23
FILE LAST UPDATED: 3 Dec 2002 (20021203/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

CAS roles have been modified effective December 16, 2001. Please check your SDI profiles to see if they need to be revised. For information on CAS roles, enter HELP ROLES at an arrow prompt or use the CAS Roles thesaurus (/RL field) in this file.

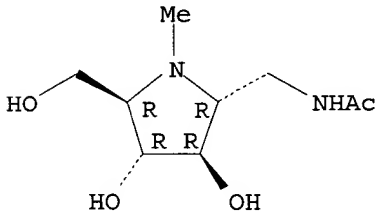
=> s l3 full
L4 13 L3

=> d l4 1-13 ibib abs hitstr

L4 ANSWER 1 OF 13 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:505094 CAPLUS
DOCUMENT NUMBER: 136:325
TITLE: Hexosaminidase inhibitors as new drug candidates for the therapy of osteoarthritis
AUTHOR(S): Liu, J.; Shikhman, A. R.; Lotz, M. K.; Wong, C.-H.
CORPORATE SOURCE: Department of Chemistry, The Scripps Research Institute, La Jolla, CA, 92037, USA
SOURCE: Chemistry & Biology (2001), 8(7), 701-711
CODEN: CBOLE2; ISSN: 1074-5521
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Background: Articular cartilage from patients with osteoarthritis is characterized by a decreased concn. and reduced size of glycosaminoglycans. Degeneration of the cartilage matrix is a multifactorial process, which is due in part to accelerated glycosaminoglycan catabolism. Recently, we have demonstrated that hexosaminidase represents the dominant glycosaminoglycan-degrading glycosidase released by chondrocytes into the extracellular compartment and is the dominant glycosidase in synovial fluid from patients with osteoarthritis. Inhibition of hexosaminidase activity may represent a novel approach to the prevention of cartilage matrix glycosaminoglycan degrdn. and a potentially new strategy to treat osteoarthritis. Results: We have synthesized and investigated a series of iminocyclitols designed as transition-state analog inhibitors of human hexosaminidase, and demonstrated that the five-membered iminocyclitol expresses the strongest inhibitory activity with Ki=24 nM. Inhibition of hexosaminidase activity in human cultured articular chondrocytes and human chondrosarcoma cells with iminocyclitol resulted in accumulation of hyaluronic acid and sulfated glycosaminoglycans in the cell-assocd. fraction. Similarly, incubation of human cartilage tissue with iminocyclitol resulted in an accumulation of glycosaminoglycans in the pericellular compartment. Conclusions: Inhibition of hexosaminidase activity represents a new strategy for preventing or even reversing cartilage degrdn. in patients with osteoarthritis.
IT **231618-75-0 306297-21-2**
RL: PAC (Pharmacological activity); RCT (Reactant); THU (Therapeutic use); BIOL (Biological study); RACT (Reactant or reagent); USES (Uses)
(hexosaminidase inhibitors as new drug candidates for therapy of osteoarthritis)

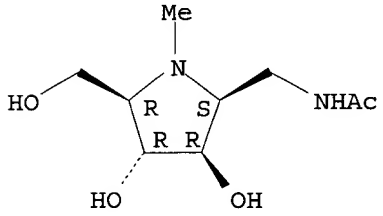
RN 231618-75-0 CAPLUS
CN Acetamide, N-[[(2R,3R,4R,5R)-3,4-dihydroxy-5-(hydroxymethyl)-1-methyl-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).




RN 306297-21-2 CAPLUS
CN Acetamide, N-[[(2S,3R,4R,5R)-3,4-dihydroxy-5-(hydroxymethyl)-1-methyl-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

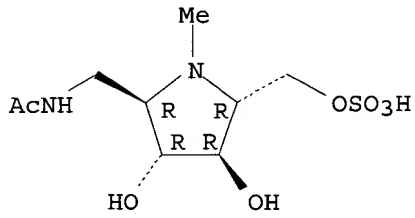
Absolute stereochemistry.




IT **306297-55-2P 306297-73-4P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(hexosaminidase inhibitors as new drug candidates for therapy of osteoarthritis)

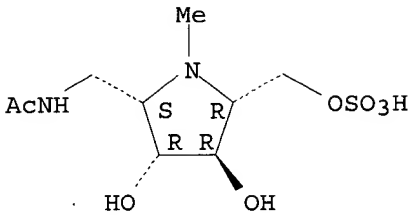
RN 306297-55-2 CAPLUS
CN Acetamide, N-[[(2R,3R,4R,5R)-3,4-dihydroxy-1-methyl-5-[(sulfooxy)methyl]-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



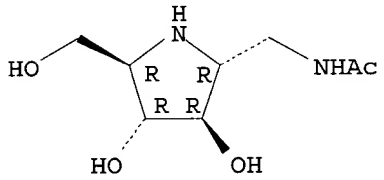

RN 306297-73-4 CAPLUS
CN Acetamide, N-[[(2S,3R,4R,5R)-3,4-dihydroxy-1-methyl-5-[(sulfooxy)methyl]-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



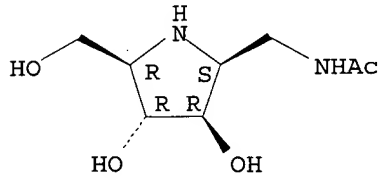

IT **150571-23-6 150653-72-8**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(hexosaminidase inhibitors as new drug candidates for therapy of osteoarthritis)
RN 150571-23-6 CAPLUS
CN Acetamide, N-[[(2R,3R,4R,5R)-3,4-dihydroxy-5-(hydroxymethyl)-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).




RN 150653-72-8 CAPLUS
CN Acetamide, N-[[(2S,3R,4R,5R)-3,4-dihydroxy-5-(hydroxymethyl)-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

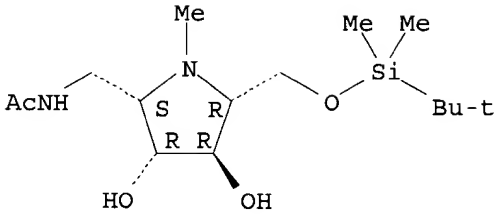
Absolute stereochemistry.




IT **306297-61-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(hexosaminidase inhibitors as new drug candidates for therapy of osteoarthritis)
RN 306297-61-0 CAPLUS
CN Acetamide, N-[[(2S,3R,4R,5R)-5-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-3,4-dihydroxy-1-methyl-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

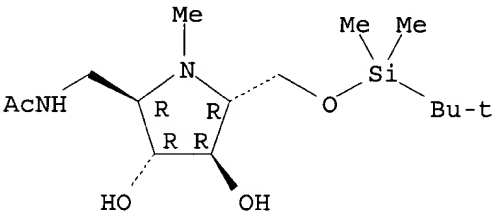
Absolute stereochemistry.

09980869



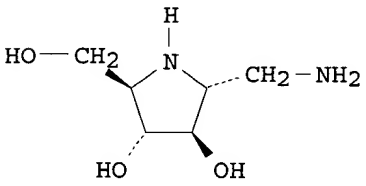

IT **306297-46-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(hexosaminidase inhibitors as new drug candidates for therapy of osteoarthritis)
RN 306297-46-1 CAPLUS
CN Acetamide, N-[[(2R,3R,4R,5R)-5-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-3,4-dihydroxy-1-methyl-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.




REFERENCE COUNT: 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 13 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:330838 CAPLUS
DOCUMENT NUMBER: 135:122363
TITLE: Powerful probes for glycosidases novel, fluorescently tagged glycosidase inhibitors
AUTHOR(S): Hermetter, Albin; Scholze, Hubert; Stutz, Arnold E.; Withers, Stephen G.; Wrodnigg, Tanja M.
CORPORATE SOURCE: Institut fur Biochemie der Technischen Universitat Graz, Graz, A-8010, Austria
SOURCE: Bioorganic & Medicinal Chemistry Letters (2001), 11(10), 1339-1342
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 135:122363
GI




I

09980869

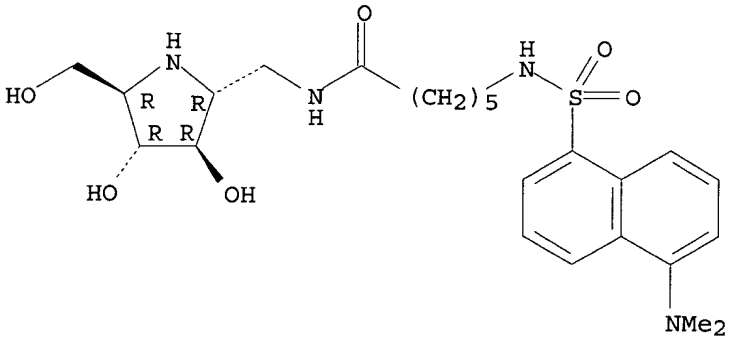
AB 1-Amino-1,2,5-trideoxy-2,5-imino-D-mannitol(I) was fluorescently tagged by reaction with dansyl chloride at N-1 or by attachment of a dansyl amide bearing spacer to this center. Compds. obtained are highly potent inhibitors of .beta.-glucosidase exhibiting Ki values in the single figure nanomolar range. The 1-N-dansyl substituted inhibitor was successfully exploited for binding studies with .beta.-glucosidase from Agrobacterium sp. employing fluorescence spectrometric methods.

IT **351351-92-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and evaluation of fluorescently tagged stereo-specific pyrrolidine derivs. as .beta.-glucosidase inhibitors)

RN 351351-92-3 CAPLUS

CN Hexanamide, N-[[(2R,3R,4R,5R)-3,4-dihydroxy-5-(hydroxymethyl)-2-pyrrolidinyl]methyl]-6-[[[5-(dimethylamino)-1-naphthalenyl]sulfonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).




REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 13 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:279046 CAPLUS
DOCUMENT NUMBER: 135:133923
TITLE: Novel, lipophilic derivatives of 2,5-dideoxy-2,5-imino-D-mannitol (DMDP) are powerful .beta.-glucosidase inhibitors
AUTHOR(S): Wrodnigg, T. M.; Withers, S. G.; Stutz, A. E.
CORPORATE SOURCE: Glycogroup, Institut fur Organische Chemie, Technische Universitat Graz, Graz, A-8010, Austria
SOURCE: Bioorganic & Medicinal Chemistry Letters (2001), 11(8), 1063-1064
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 135:133923

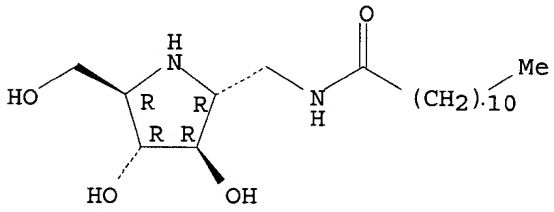
AB Novel derivs. of the d-glucosidase inhibitor 2,5-dideoxy-2,5-imino-D-mannitol bearing lipophilic aliph. or arom. amides attached to C-1 have been found to inhibit .beta.-glucosidase from Agrobacterium sp. in the nanomolar range. One of them, a coumarin deriv., ranks amongst the most active compds. in the class of reversible glycosidase inhibitors of the iminoalditol type. Novel 1-N-acyl and 1-N-sulfonyl derivs. of 1-amino-1,2,5-trideoxy-2,5-imino-D-mannitol exhibiting Ki values in the nanomolar range are reported.

IT **351871-08-4P 351871-09-5P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and structure activity relations of novel, lipophilic derivs. of dideoxyiminomannitol as .beta.-glucosidase inhibitors)

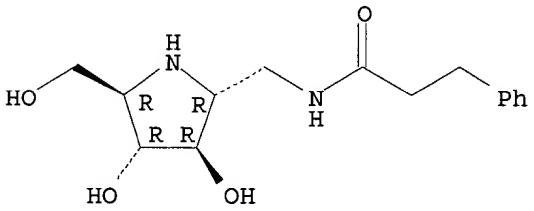
RN 351871-08-4 CAPLUS
CN Dodecanamide, N-[[(2R,3R,4R,5R)-3,4-dihydroxy-5-(hydroxymethyl)-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).




RN 351871-09-5 CAPLUS
CN Benzenepropanamide, N-[[(2R,3R,4R,5R)-3,4-dihydroxy-5-(hydroxymethyl)-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



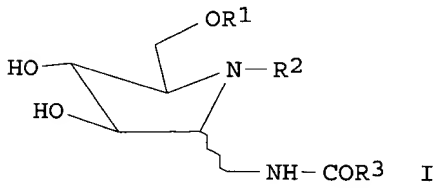

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 13 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:814457 CAPLUS
DOCUMENT NUMBER: 133:350461
TITLE: Preparation of iminocyclitol inhibitors of hexoaminidase and glycosidase
INVENTOR(S): Wong, Chi-huey; Liu, Jungie
PATENT ASSIGNEE(S): The Scripps Research Institute, USA
SOURCE: PCT Int. Appl., 52 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2000068194 | A1 | 20001116 | WO 2000-US13048 | 20000511 |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA,

ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
EP 1181274 A1 20020227 EP 2000-930662 20000511
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO
PRIORITY APPLN. INFO.: US 1999-133549P P 19990511
WO 2000-US13048 W 20000511
OTHER SOURCE(S): MARPAT 133:350461
GI



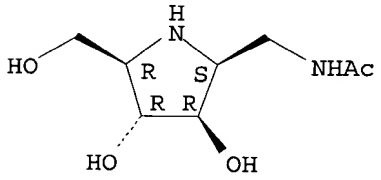
I

AB Iminocyclitols I wherein: R1 is selected from the group consisting of hydrogen, sulfate, and Me sulfate; R2 is selected from the group consisting of hydrogen, Me, Et, and a branched or unbranched hydrocarbon having between 3 and 8 carbons; and R3 is a hydrocarbon having between 1 and 50 carbon atoms, were prepd. and have potent inhibition activity with respect to hexoaminidases and glycosidases. Thus,. (1'R,2S,3R,4R,5R)-3,4-dihydroxy-2-[1',2'-dihydroxy-ethyl]-5-hydroxymethyl-pyrrolidine was prepd. and tested as .beta.-glucosidase inhibitor (Ki = 2.6 .mu.M).

IT **150653-72-8P 306297-21-2P**
RL: BAC (Biological activity or effector, except adverse); BPN (Biosynthetic preparation); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of iminocyclitol inhibitors of hexoaminidase and glycosidase)

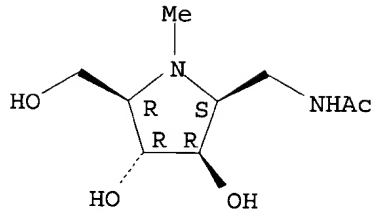
RN 150653-72-8 CAPLUS
CN Acetamide, N-[[(2S,3R,4R,5R)-3,4-dihydroxy-5-(hydroxymethyl)-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



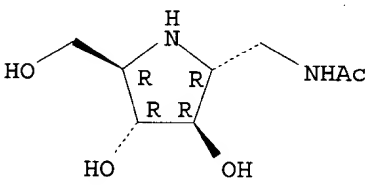

RN 306297-21-2 CAPLUS
CN Acetamide, N-[[(2S,3R,4R,5R)-3,4-dihydroxy-5-(hydroxymethyl)-1-methyl-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



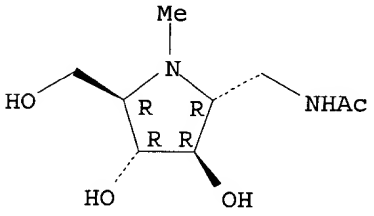
IT **150571-23-6P 231618-75-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of iminocyclitol inhibitors of hexoaminidase and glycosidase)
RN 150571-23-6 CAPLUS
CN Acetamide, N-[[(2R,3R,4R,5R)-3,4-dihydroxy-5-(hydroxymethyl)-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



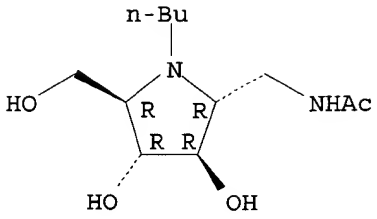
RN 231618-75-0 CAPLUS
CN Acetamide, N-[[(2R,3R,4R,5R)-3,4-dihydroxy-5-(hydroxymethyl)-1-methyl-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



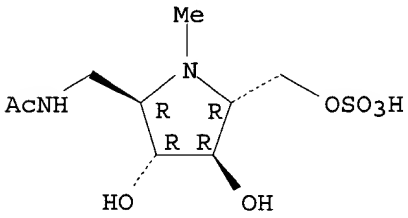
IT **172936-45-7P 306297-55-2P 306297-73-4P**
**306297-78-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of iminocyclitol inhibitors of hexoaminidase and glycosidase)
RN 172936-45-7 CAPLUS
CN Acetamide, N-[[(2R,3R,4R,5R)-1-butyl-3,4-dihydroxy-5-(hydroxymethyl)-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



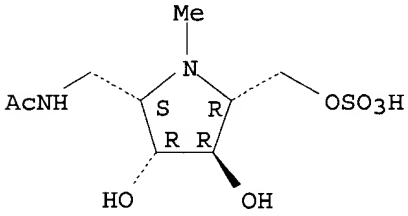

RN 306297-55-2 CAPLUS
CN Acetamide, N-[[(2R,3R,4R,5R)-3,4-dihydroxy-1-methyl-5-[(sulfooxy)methyl]-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



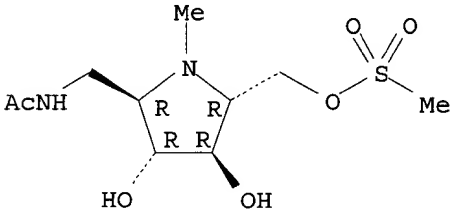

RN 306297-73-4 CAPLUS
CN Acetamide, N-[[(2S,3R,4R,5R)-3,4-dihydroxy-1-methyl-5-[(sulfooxy)methyl]-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.




RN 306297-78-9 CAPLUS
CN Acetamide, N-[[(2R,3R,4R,5R)-3,4-dihydroxy-1-methyl-5-[[(methylsulfonyl)oxy]methyl]-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.




IT **306297-46-1P 306297-61-0P**
RL: BPN (Biosynthetic preparation); RCT (Reactant); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)

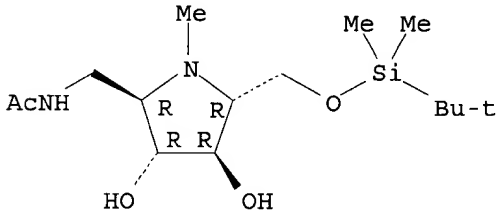
09980869

(prepn. of iminocyclitol inhibitors of hexoaminidase and glycosidase)

RN 306297-46-1 CAPLUS

CN Acetamide, N-[[(2R,3R,4R,5R)-5-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-3,4-dihydroxy-1-methyl-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

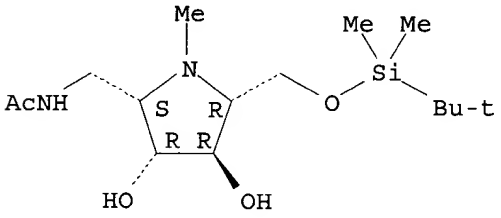
Absolute stereochemistry.




RN 306297-61-0 CAPLUS

CN Acetamide, N-[[(2S,3R,4R,5R)-5-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-3,4-dihydroxy-1-methyl-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.




REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 13 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2000:787884 CAPLUS

DOCUMENT NUMBER: 134:71810

TITLE: Biologically active 1-aminodeoxy and 1-O-alkyl derivatives of the powerful D-glucosidase inhibitor 2,5-dideoxy-2,5-imino-D-mannitol

AUTHOR(S): Wrodnigg, Tanja M.; Gaderbauer, Walter; Greimel, Peter; Hausler, Herwig; Sprenger, Friedrich K.; Stutz, Arnold E.; Virgona, Chris; Withers, Stephen G.

CORPORATE SOURCE: Glycogroup, Institut fur Organische Chemie, Technische Universitat Graz, Graz, A-8010, Austria

SOURCE: Journal of Carbohydrate Chemistry (2000), 19(8), 975-990
CODEN: JCACDM; ISSN: 0732-8303

PUBLISHER: Marcel Dekker, Inc.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 134:71810

AB By an Amadori rearrangement of easily available 5-azido-5-deoxy-D-glucofuranose with dibenzylamine and subsequent catalytic hydrogenation of the resulting 5-azido-1-(N,N-dibenzyl)amino-1,5-dideoxy-D-fructopyranose, 1-amino-1,2,5-trideoxy-2,5-imino-D-mannitol was obtained in only two steps and in excellent overall yield. Likewise, other amines were employed to introduce extended side chains ultimately suitable for attachment of the inhibitor to solid supports. The reported rearrangement reaction is a high yielding, convenient and apparently general entry to

1-aminodeoxyketopyranoses modified at C-5, facilitated by the ring enlargement of the aldofuranose to the ketopyranose as an addnl. driving force. A range of selected chain extended analogs was prepd. by acylation of N-1. Inhibitors obtained exhibit Ki-values with D-glucosidases in the micromolar range. Interestingly, 1-N-acylation resulted in superior inhibitory activities, as did the addn. of a hexyl chain.

IT **150571-23-6P 315190-54-6P 315190-55-7P**

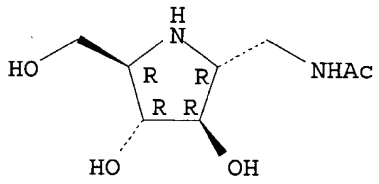
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. of biol. active aminodeoxy and alkyl aminotrideoxyiminomannitol derivs. of the powerful D-glucosidase inhibitor 2,5-dideoxy-2,5-imino-D-mannitol)

RN 150571-23-6 CAPLUS

CN Acetamide, N-[[(2R,3R,4R,5R)-3,4-dihydroxy-5-(hydroxymethyl)-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

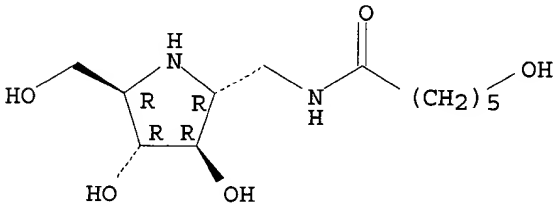
Absolute stereochemistry. Rotation (+).



RN 315190-54-6 CAPLUS

CN Hexanamide, N-[[(2R,3R,4R,5R)-3,4-dihydroxy-5-(hydroxymethyl)-2-pyrrolidinyl]methyl]-6-hydroxy- (9CI) (CA INDEX NAME)

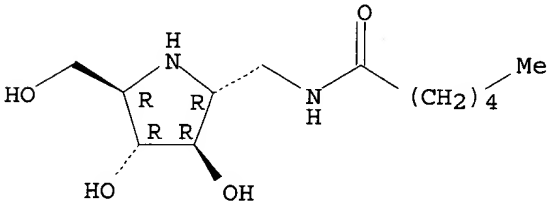
Absolute stereochemistry. Rotation (+).



RN 315190-55-7 CAPLUS

CN Hexanamide, N-[[(2R,3R,4R,5R)-3,4-dihydroxy-5-(hydroxymethyl)-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



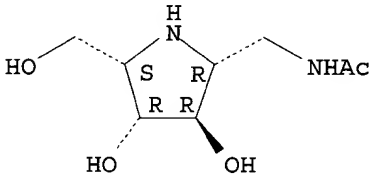
REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 13 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:125861 CAPLUS
DOCUMENT NUMBER: 132:237302
TITLE: Synthesis and evaluation as glycosidase inhibitors of 2,5-imino-D-glucitol and 1,5-imino-D-mannitol related derivatives
AUTHOR(S): McCort, Isabelle; Fort, Sebastien; Dureault, Annie; Depezay, Jean-Claude
CORPORATE SOURCE: Universite Rene Descartes, Laboratoire de Chimie et Biochimie Pharmacologiques et Toxicologiques, associe au CNRS, Paris, 75270, Fr.
SOURCE: Bioorganic & Medicinal Chemistry (2000), 8(1), 135-143
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Selectively functionalized 2,5-imino-D-glucitol and 1,5-imino-D-mannitol derivs. were synthesized and tested as precursors of hydrolytically resistant pseudo-disaccharides. Among them N-acetyl-6-amino-6-deoxy-2,5-imino-D-glucitol and N-acetyl-6-amino-6-deoxy-1,5-imino-D-mannitol were found to be potent and specific inhibitors against .beta.-D-glucosidase and .alpha.-L-fucosidase, resp.

IT **188019-34-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis and evaluation as glycosidase inhibitors of iminoglucitol and iminomannitol related derivs.)
RN 188019-34-3 CAPLUS
CN Acetamide, N-[[(2R,3R,4R,5S)-3,4-dihydroxy-5-(hydroxymethyl)-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).




REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 13 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:390839 CAPLUS
DOCUMENT NUMBER: 131:102464
TITLE: A Versatile Synthetic Strategy for the Preparation and Discovery of New Iminocyclitols as Inhibitors of Glycosidases
AUTHOR(S): Takebayashi, Maki; Hiranuma, Sayoko; Kanie, Yoshimi; Kajimoto, Tetsuya; Kanie, Osamu; Wong, Chi-Huey
CORPORATE SOURCE: Frontier Research Program, The Institute of Physical and Chemical Research (RIKEN), Wako-shi Saitama, 351-0198, Japan
SOURCE: Journal of Organic Chemistry (1999), 64(14), 5280-5291
CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal

LANGUAGE: English

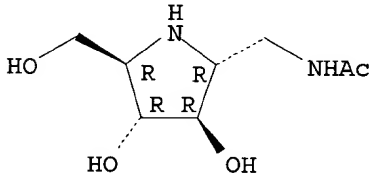
AB A series of imino cyclitols was prepd. using a versatile synthetic strategy, and their inhibition of glycosidases was evaluated using capillary electrophoresis. The study has demonstrated that remarkable specificities in enzyme inhibition can be achieved with small modifications on the aglycon side chain and the ring nitrogen. Among the compds. synthesized, (2R,3R,4R,5R)-N-methyl-2-(acetamidomethyl)-3,4-dihydroxy-5-(hydroxymethyl)pyrrolidine was found to be very potent against .beta.-N-acetylhexosaminidase P with the Ki value of 80 nM.

IT **150571-23-6P 172936-45-7P 231618-75-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of imino cyclitols as glycosidase inhibitors)

RN 150571-23-6 CAPLUS

CN Acetamide, N-[[(2R,3R,4R,5R)-3,4-dihydroxy-5-(hydroxymethyl)-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

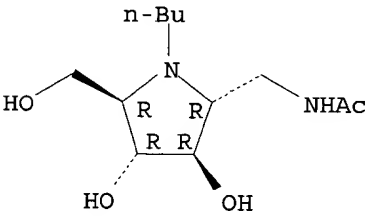
Absolute stereochemistry. Rotation (+).




RN 172936-45-7 CAPLUS

CN Acetamide, N-[[(2R,3R,4R,5R)-1-butyl-3,4-dihydroxy-5-(hydroxymethyl)-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

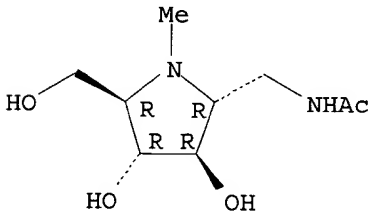
Absolute stereochemistry.




RN 231618-75-0 CAPLUS

CN Acetamide, N-[[(2R,3R,4R,5R)-3,4-dihydroxy-5-(hydroxymethyl)-1-methyl-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).




REFERENCE COUNT: 56 THERE ARE 56 CITED REFERENCES AVAILABLE FOR THIS

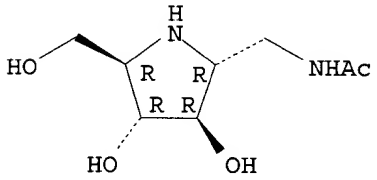
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 13 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1997:530913 CAPLUS
DOCUMENT NUMBER: 127:190944
TITLE: Synthesis of 1-amino-1,2,5-trideoxy-2,5-imino-D-mannitol, a novel analog of the powerful glucosidase inhibitor 2,5-dideoxy-2,5-imino-D-mannitol, via an Amadori rearrangement of 5-azido-5-deoxy-D-glucofuranose
AUTHOR(S): Wrodnigg, Tanja M.; Stutz, Arnold E.; Withers, Steven G.
CORPORATE SOURCE: Institut fur Organische Chemie der Technischen Universitat Graz, Graz, A-8010, Austria
SOURCE: Tetrahedron Letters (1997), 38(31), 5463-5466
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English

AB By an Amadori rearrangement of easily available 5-azido-5-deoxy-D-glucofuranose with dibenzylamine and subsequent catalytic hydrogenation of the resulting 5-azido-1-dibenzylamino-1,5-dideoxy-D-fructopyranose, the new 1-amino-1,2,5-trideoxy-2,5-imino-D-mannitol was obtained in only two steps and excellent overall yield. Likewise, other amines and/or other 5-modified hexofuranoses can be used to advantage. The reported rearrangement reaction is a high yielding, convenient and apparently general entry to 1-aminodeoxyketopyranoses modified at C-5, facilitated by the ring enlargement of the aldofuranose to the ketopyranose as an addnl. driving force.

IT **150571-23-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of aminotrideoxyiminomannitol via an Amadori rearrangement of azidodeoxyglucofuranose)
RN 150571-23-6 CAPLUS
CN Acetamide, N-[[(2R,3R,4R,5R)-3,4-dihydroxy-5-(hydroxymethyl)-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

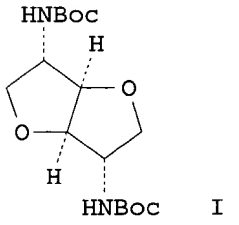
Absolute stereochemistry. Rotation (+).



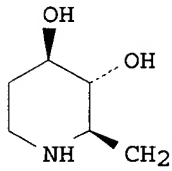

L4 ANSWER 9 OF 13 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1997:111881 CAPLUS
DOCUMENT NUMBER: 126:212336
TITLE: Intramolecular cyclization of C2 symmetric and meso-iodo amino alcohols: a synthetic approach to azasugars
AUTHOR(S): Kang, Sung Ho; Ryu, Do Hyun
CORPORATE SOURCE: Dep. Chem., Korea Advanced Inst. Sci. Technology, Taejon, 305-701, S. Korea
SOURCE: Tetrahedron Letters (1997), 38(4), 607-610
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal

09980869

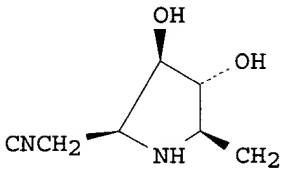
LANGUAGE: English
GI



I



II



III

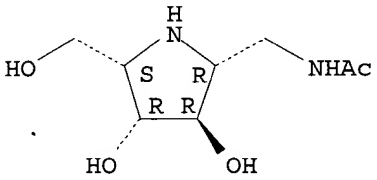
AB C2 sym. and meso-iodo hydroxy ammonium chlorides generated from a bis(dihydro-1,3-oxazine) and a bisoxazoline have been cyclized under basic conditions to produce various heterocycles chemoselectively, which comprise tetrahydrofurans, e.g. I, piperidines, e.g. II, and pyrrolidines, e.g. III.

IT **188019-34-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of azasugars via intramol. cyclization of C2 sym. and meso-iodo amino alcs.)

RN 188019-34-3 CAPLUS

CN Acetamide, N-[[(2R,3R,4R,5S)-3,4-dihydroxy-5-(hydroxymethyl)-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).




L4 ANSWER 10 OF 13 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1997:108740 CAPLUS

DOCUMENT NUMBER: 126:260693

TITLE: C2-symmetrical tetrahydroxyazepanes as inhibitors of glycosidases and HIV/FIV proteases

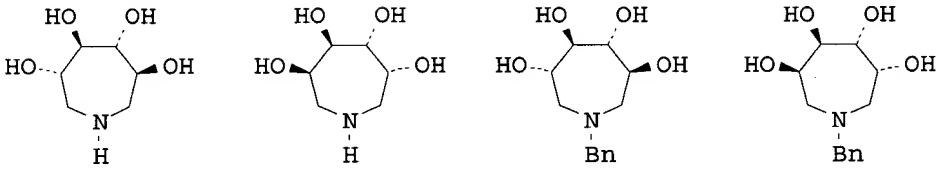
AUTHOR(S): Qian, Xinhua; Moris-Varas, Francisco; Fitzgerald, Michael C.; Wong, Chi-Huey

CORPORATE SOURCE: Department of Chemistry, The Scripps Research Institute, La Jolla, CA, 92037, USA

SOURCE: Bioorganic & Medicinal Chemistry (1996), 4(12), 2055-2069

09980869

CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 126:260693
GI



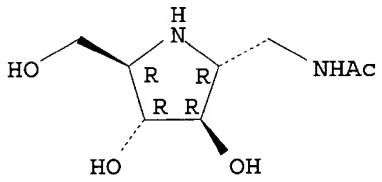
I II III IV

AB C2-Sym. tetrahydroxyazepanes were synthesized as inhibitors for glycosidases. Tetrahydroxyazepane I is a non-specific inhibitor of various glycosidases, while compds. II, III and IV specifically inhibit .beta.-N-acetylglucosaminidase, .beta.-glucosidase, and .alpha.-fucosidase, resp., with Ki in the micromolar range. Compd. I is not an inhibitor of HIV/FIV proteases, but its 3,6-difluorobenzyl derivs. are moderate inhibitors of both enzymes.

IT **150571-23-6**
RL: PRP (Properties)
(structural comparison with tetrahydroxyazepanes by mol. modeling; prepn. of C2-sym. tetrahydroxyazepanes as inhibitors of glycosidases and HIV/FIV proteinases)

RN 150571-23-6 CAPLUS
CN Acetamide, N-[[(2R,3R,4R,5R)-3,4-dihydroxy-5-(hydroxymethyl)-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L4 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1995:994843 CAPLUS
DOCUMENT NUMBER: 124:117885
TITLE: Preparation of 2-chloro and 2-bromo derivatives of 1,5-iminosugars as glycosidase inhibitors and intermediates.
INVENTOR(S): Barta, Thomas E.; Mueller, Richard A.
PATENT ASSIGNEE(S): Searle, G. D., and Co., USA
SOURCE: PCT Int. Appl., 92 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

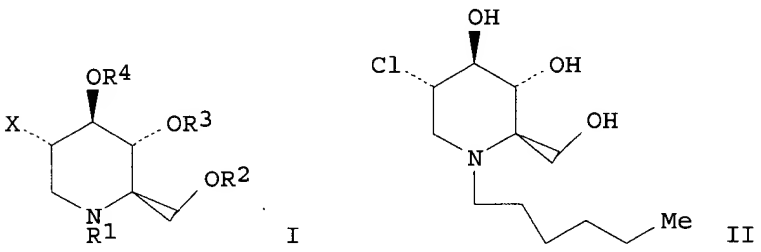
09980869

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9524392 | A1 | 19950914 | WO 1995-US2168 | 19950228 |
| W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TT, UA | | | | |
| RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| US 5451679 | A | 19950919 | US 1994-207340 | 19940308 |
| AU 9519258 | A1 | 19950925 | AU 1995-19258 | 19950228 |
| US 5595981 | A | 19970121 | US 1995-399022 | 19950306 |
| US 5612480 | A | 19970318 | US 1995-398839 | 19950306 |
| US 5663342 | A | 19970902 | US 1996-663507 | 19960614 |

PRIORITY APPLN. INFO.:
US 1994-207340 19940308
WO 1995-US2168 19950228
US 1995-399022 19950306

OTHER SOURCE(S): MARPAT 124:117885

GI



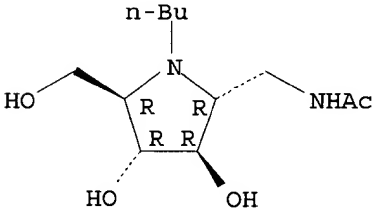

AB Title compds. [I; X = Cl, Br; R1 = H, C1-12 (branched) alkyl, alkoxyalkyl, alkenyl, alkynyl, (substituted) aralkyl, aralkenyl; R2-R4 = H, COR5; R5 = C1-6 (branched) alkyl, C6-12 aryl, alkylaryl], were prepd. Thus, title compd. (II), prepd. from N-hexyldeoxynojirimycin, inhibited HIV with EC50 = 11 .mu.g/mL.

IT **172936-45-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of 2-chloro and 2-bromo derivs. of 1,5-iminosugars as glycosidase inhibitors and intermediates)

RN 172936-45-7 CAPLUS

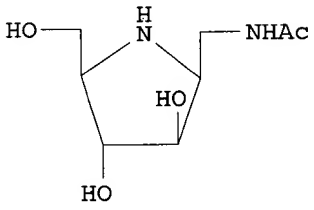
CN Acetamide, N-[[(2R,3R,4R,5R)-1-butyl-3,4-dihydroxy-5-(hydroxymethyl)-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

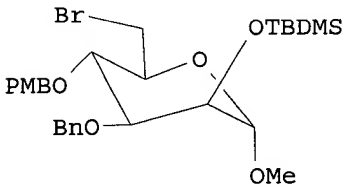


09980869

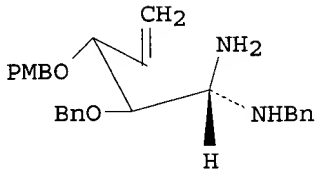
L4 ANSWER 12 OF 13 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1995:20180 CAPLUS
DOCUMENT NUMBER: 122:133588
TITLE: Preparation of the N-acetylglucosaminidase inhibitor 1-acetamido-1,2,5-trideoxy-2,5-imino-D-glucitol from methyl .alpha.-D-mannopyranoside
AUTHOR(S): Schumacher-Wandersleb, Michael H. M. G.; Petersen, Stefan; Peter, Martin G.
CORPORATE SOURCE: Inst. Org. Chem. Biochem., Univ. Bonn, Bonn, D-53121, Germany
SOURCE: Liebigs Annalen der Chemie (1994), (6), 555-61
CODEN: LACHDL; ISSN: 0170-2041
DOCUMENT TYPE: Journal
LANGUAGE: English
GI




I




II




III

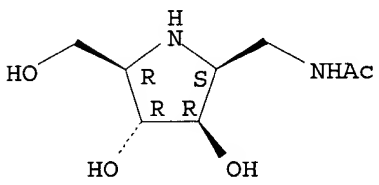
AB Title acetamidotrideoxyiminoglucitol I was prepd. from bromodeoxy-.alpha.-D-mannopyranoside II via intramol. aminomercuration of aminoalkane III. Compd. I inhibited NAGase from bovine kidney.

IT **150653-72-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and N-acetylglucosaminidase inhibition by)

RN 150653-72-8 CAPLUS

CN Acetamide, N-[[(2S,3R,4R,5R)-3,4-dihydroxy-5-(hydroxymethyl)-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.




L4 ANSWER 13 OF 13 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1993:603707 CAPLUS
DOCUMENT NUMBER: 119:203707

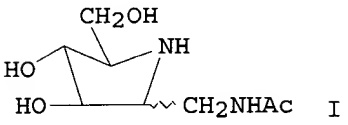
09980869

TITLE: Inhibition of N-acetylglucosaminyl transfer enzymes: chemical-enzymic synthesis of new five-membered acetamido azasugars

AUTHOR(S): Takaoka, Yoshikazu; Kajimoto, Tetsuya; Wong, Chi Huey
CORPORATE SOURCE: Lab. Glycotechnol., Inst. Phys. Chem. Res., Wako, 351-01, Japan

SOURCE: Journal of Organic Chemistry (1993), 58(18), 4809-12
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: English

GI



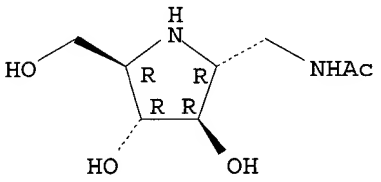

I

AB Two new acetamido aza sugars I have been synthesized and tested as inhibitors of .beta.-N-acetylglucosaminase.

IT **150571-23-6P 150653-72-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and N-acetylglucosaminyl transferase inhibition by)

RN 150571-23-6 CAPLUS
CN Acetamide, N-[[(2R,3R,4R,5R)-3,4-dihydroxy-5-(hydroxymethyl)-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).




RN 150653-72-8 CAPLUS
CN Acetamide, N-[[(2S,3R,4R,5R)-3,4-dihydroxy-5-(hydroxymethyl)-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.